

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS
21:CLASS 23:CLASS 24:CLASS 26:CLASS 27:CLASS 28:CLASS 41:CLASS 43:CLASS 44:Atom
45:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom 54:Atom
55:Atom 56:CLASS 57:CLASS 58:CLASS 59:CLASS 60:CLASS 61:CLASS 62:CLASS 63:CLASS
64:CLASS

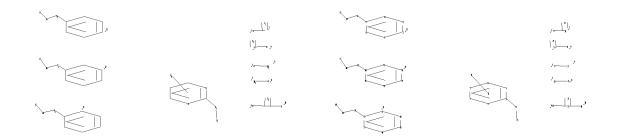
G1:0,S

G3:Cy,Ak

G4:[*11],[*12],[*13]

G2:N,[*1-*2],[*3-*4],[*5-*6],[*7-*8],[*9-*10]

=> Uploading C:\Program Files\Stnexp\Queries\10577047.str



chain nodes :
13 14 15 16 17 18 19 20 21 23 24 26 27 28 41 43 56 57 58 59 60
61 62 63 64 70
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 44 45 46 47 48 49 50 51 52 53 54
55
chain bonds :

10/577,047

 $6-41 \quad 9-56 \quad 13-19 \quad 14-20 \quad 15-27 \quad 16-28 \quad 17-21 \quad 18-21 \quad 19-23 \quad 20-24 \quad 21-26 \quad 41-43$ 46-57 52-58 56-59 57-60 58-61 59-62 60-63 61-64 ring bonds : $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 7-8 \quad 7-12 \quad 8-9 \quad 9-10 \quad 10-11 \quad 11-12 \quad 44-45 \quad 44-49$ 45-46 46-47 47-48 48-49 50-51 50-55 51-52 52-53 53-54 54-55 exact/norm bonds : $6-41 \quad 9-56 \quad 13-19 \quad 14-20 \quad 15-27 \quad 16-28 \quad 17-21 \quad 18-21 \quad 19-23 \quad 20-24 \quad 21-26 \quad 41-43$ 46-57 52-58 56-59 57-60 58-61 59-62 60-63 61-64 normalized bonds : $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 7-8 \quad 7-12 \quad 8-9 \quad 9-10 \quad 10-11 \quad 11-12 \quad 44-45 \quad 44-49$ $45 - 46 \quad 46 - 47 \quad 47 - 48 \quad 48 - 49 \quad 50 - 51 \quad 50 - 55 \quad 51 - 52 \quad 52 - 53 \quad 53 - 54 \quad 54 - 55$ isolated ring systems : containing 1 : 7 : 44 : 50 :G1:0,S G2:N,[*1-*2],[*3-*4],[*5-*6],[*7-*8],[*9-*10]G3:Cy, Ak G4: [*11], [*12], [*13] Match level: $1: A \texttt{tom} \quad 2: A \texttt{tom} \quad 3: A \texttt{tom} \quad 4: A \texttt{tom} \quad 5: A \texttt{tom} \quad 6: A \texttt{tom} \quad 7: A \texttt{tom} \quad 8: A \texttt{tom} \quad 9: A \texttt{tom} \quad 10: A \texttt{tom}$ 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 23:CLASS 24:CLASS 26:CLASS 27:CLASS 28:CLASS 41:CLASS 43:CLASS 44:Atom 45:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:CLASS 57:CLASS 58:CLASS 59:CLASS

T.1 STRUCTURE UPLOADED

=> d 11L1 HAS NO ANSWERS

STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

60:CLASS 61:CLASS 62:CLASS 63:CLASS 64:CLASS 70:CLASS 71:Atom

=> s 11 sss sam SAMPLE SEARCH INITIATED 11:22:03 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 41069 TO ITERATE

4.9% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE**

PROJECTED ITERATIONS: 809266 TO 833494 PROJECTED ANSWERS: 2881 TO 4511

Page 2

9 ANSWERS

L2 9 SEA SSS SAM L1

=> => s 11 sss ful FULL SEARCH INITIATED 11:25:03 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 826351 TO ITERATE

81.8% PROCESSED 675878 ITERATIONS 2506 ANSWERS
91.4% PROCESSED 755464 ITERATIONS 2506 ANSWERS

99.1% PROCESSED 818956 ITERATIONS 2506 ANSWERS

100.0% PROCESSED 826351 ITERATIONS 2506 ANSWERS

SEARCH TIME: 00.00.53

L3 2506 SEA SSS FUL L1

=> => s 13 L4 27 L3

 \Rightarrow d 14 1-27 bib, ab, hitstr

```
ANSWER 1 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
L4
             2009:296443 CAPLUS
ΑN
             150:306672
DN
             Preparation of phenylaminopyrimidine derivatives and analogs as protein
ΤI
             kinase inhibitors
             Kamenecka, Theodore Mark; Jiang, Rong; Song, Xinyi; Lograsso, Philip;
IN
             Cameron, Michael Darin
             The Scripps Research Institute, USA
PA
             PCT Int. Appl., 278pp.
SO
             CODEN: PIXXD2
DT
             Patent
LA
             English
FAN.CNT 1
                                                                                     DATE
                                                                                                                    APPLICATION NO.
             PATENT NO.
                                                                  KIND
                                                                                                                                                                                 DATE
                                                                                                                    _____
                                                                                                                                                                                 _____
                                                                  ____
                                                                                                                 WO 2008-US75151
             WO 2009032861
                                                                                     20090312
                                                                                                                                                                               20080903
РΤ
                                                                   A1 (
                       W: AE, AG, AL, AM, AQ, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
                                 CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
                       KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TD, BE, BI, CF, CG, CI, CM, GA, GN, GO, GW, MI, MP, NE, SN, TD, RES, BI, CF, CG, CI, CM, GA, GN, GO, GW, MI, MP, NE, SN, TD, RE, BI, CF, CG, CI, CM, GA, GN, GO, GW, MI, MP, NE, SN, TD, RE, BI, CF, CG, CI, CM, GA, GN, GO, GW, MI, MP, NE, SN, TD, RE, BI, CF, CG, CI, CM, GA, GN, GO, GW, MI, MP, NE, SN, TD, RE, BI, CF, CG, CI, CM, GA, GN, GO, GW, MI, MP, NE, SN, TD, RES, RICHARD, CRASSING, CRASSIN
                                  TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
                                  TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
                                  AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRAI US 2007-969849P
                                                                   Ρ
                                                                                    20070904
            MARPAT 150:306672
OS
             Title compds. I [each Z independently = CH or N; each R1 independently =
AΒ
             halo, CF3, (un) substituted alkyl, etc.; each R2 independently = halo,
             OCF3, NO2, etc.; or R1 and R2 that are attached to adjacent ring carbons
             are taken together with the ring atoms through which they are connected to
             form a heterocycloalkyl containing 1 or 2 oxygen atoms; R3 = H, Me, Et, CN, or
             halo; R4 = (un)substituted carbocyclic ring or heterocyclic ring containing 1
             to 4 heteroatoms; m and n independently = 0 to 2 provided that their sum
             is 0 to 2; with provisions], and their pharmaceutically acceptable salts,
             are prepared and disclosed as protein kinase inhibitors. Thus, e.g., II was
             prepared by coupling of 4-bromo-2-fluorobenzonitrile with
             bis(pinacolato)diboron followed by coupling with 2,4-dichloropyrimidine
             and coupling with 4-(3-methyl-1H-1,2,4-triazol-1-yl)aniline (preparation
             given). Select I were evaluated in JNK inhibition assays and demonstrated
             IC50 values of \leq 10 \mu M. I were disclosed as therapeutic agents
             that are useful as inhibitors of protein kinases, especially c-Jun N-terminal
             kinases (JNK), for use in treating conditions responsive to the inhibition
             of the JNK pathway.
             1128097-21-1P
ΙT
             RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
             (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
                      (preparation of phenylaminopyrimidine derivs. and analogs as protein kinase
                     inhibitors)
             1128097-21-1 CAPLUS
RN
             2- Pyrimidinamine, N-[4-(3-methyl-1H-1,2,4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-triazol-1-yl)phenyl]-4-[3-(4-
CN
```

morpholinyl)-5-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 2 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
L4
         2009:239210 CAPLUS
ΑN
         150:283069
DN
         Preparation of 2-heteroarylaminopyrimidine derivatives as protein kinase
ΤI
         inhibitors
IN
         Chianelli, Donatella; Molteni, Valentina; Li, Xiaolin; Liu, Xiaodong;
         Nabakka, Juliet; Loren, Jon
         IRM LLC, Bermuda
PA
         PCT Int. Appl., 80pp.
SO
         CODEN: PIXXD2
DT
         Patent
LA
         English
FAN.CNT 1
         PATENT NO.
                                               KIND
                                                            DATE
                                                                                   APPLICATION NO.
                                                                                                                               DATE
                                                                                   _____
                                                                                                                              _____
                                               ____
                                                                                 WO 2008-US73438
         WO 2009026204
                                                            20090226
                                                                                                                             20080818
РΤ
                                                A1
                W: AE, AG, AL, AM, AD, AT, ALL, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
                        CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
                KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TD, BE, BI, CF, CG, CI, CM, GA, GN, GO, GW, MI, MP, NE, SN, TD, RES, BI, CF, CG, CI, CM, GA, GN, GO, GW, MI, MP, NE, SN, TD, RE, BI, CF, CG, CI, CM, GA, GN, GO, GW, MI, MP, NE, SN, TD, RE, BI, CF, CG, CI, CM, GA, GN, GO, GW, MI, MP, NE, SN, TD, RE, BI, CF, CG, CI, CM, GA, GN, GO, GW, MI, MP, NE, SN, TD, RE, BI, CF, CG, CI, CM, GA, GN, GO, GW, MI, MP, NE, SN, TD, RES, RICHARD, CRASSING, CRASSIN
                        TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
                        TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
                        AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRAI US 2007-957240P
                                                Ρ
                                                            20070822
OS
         MARPAT 150:283069
AΒ
         Title compds. I [R = H, alkyl; R1 = alkyl, alkenyl, alkynyl; R2 =
         substituted 6-membered nitrogen heterocycle containing up to 4 nitrogen atoms;
         Ar = (un)substituted (hetero)aryl, with provisions], and their
         pharmaceutically acceptable salts, are prepared and disclosed as protein
         kinase inhibitors. For example, compound II was prepared via amidation of
         5-[5-(4-methoxyphenyl)pyrimidin-2-ylamino]pyridine-2-carboxylic acid
         (preparation given) with N-BOC piperazine, followed by BOC deprotection. I
         demonstrated IC50 values in the range of 10 nM to 2\mu\mathrm{M} in kinase
         activity assays with fibroblast growth factor receptor (FGFR3).
         invention is also directed to methods of treating, ameliorating, or
         preventing conditions associated with abnormal or deregulated protein kinase
         activity, such as asthma, atopic dermatitis, urticaria, irritable bowel
         syndrome, or fibrosis.
                                           1123178-03-9P
ΙT
         1123178-00-6P
                                                                             1123178-04-0P
         1123178-05-1P
                                           1123178-06-2P
                                                                             1123178-07-3P
                                           1123178-09-5P
         1123178-08-4P
                                                                             1123178-10-8P
         1123178-11-9P
                                           1123178-12-0P
                                                                             1123178-13-1P
         1123178-14-2P
         RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
         (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
                (preparation of (heteroarylamino)pyrimidine derivs. as protein kinase
               inhibitors)
         1123178-00-6 CAPLUS
RN
CN
         Methanone, [5-[5-4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-3-
         pyridinyl]-1-piperazinyl- (CA INDEX NAME)
```

RN 1123178-03-9 CAPLUS

CN 2H-Pyran-4-carboxamide, N-[5-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-2-methyl-3-pyridiny1]tetrahydro- (CA INDEX NAME)

RN 1123178-04-0 CAPLUS

CN 3-Piperidinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methyl-3-pyridinyl]-1-ethyl-6-oxo- (CA INDEX NAME)

RN 1123178-05-1 CAPLUS

CN 4-Piperidinecarboxamide, 1-cyclopropyl-N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methyl-3-pyridinyl]-2-oxo-(CA INDEX NAME)

RN 1123178-06-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-pyridinyl]ethyl]- (CA INDEX NAME)

RN 1123178-07-3 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[5-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-4-methyl-2-pyridiny1]ethyl]- (CA INDEX NAME)

RN 1123178-08-4 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methyl-3-pyridinyl]ethyl]- (CA INDEX NAME)

RN 1123178-09-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-3-pyridinyl]ethyl]- (CA INDEX NAME)

RN 1123178-10-8 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-pyridinyl]methyl]- (CA INDEX NAME)

RN 1123178-11-9 CAPLUS

CN Ethanone, 2-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-pyridinyl]-1-(4-hydroxy-1-piperidinyl)- (CA INDEX NAME)

RN 1123178-12-0 CAPLUS

CN 1-Propanone, 2-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-pyridinyl]-3-hydroxy-1-(4-hydroxy-1-piperidinyl)- (CA INDEX NAME)

RN 1123178-13-1 CAPLUS

CN 1-Propanone, 2-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-pyridinyl]-1-(4-hydroxy-1-piperidinyl)- (CA INDEX NAME)

RN 1123178-14-2 CAPLUS

CN 2-Pyridineacetamide, 5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]- α -(hydroxymethyl)-N-(2-hydroxypropyl)- (CA INDEX NAME)

IT 1123178-24-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (heteroarylamino)pyrimidine derivs. as protein kinase inhibitors)

RN 1123178-24-4 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 3 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
L4
         2009:238950 CAPLUS
ΑN
         150:283067
DN
         Preparation of 5-(4-(haloalkoxy)phenyl)pyrimidine-2-amine compounds as
ΤI
         protein kinase inhibitors
IN
         Molteni, Valentina; Li, Xiaolin; Liu, Xiaodong; Chianelli, Donatella;
         Nabakka, Juliet; Loren, Jon; You, Shuli
         IRM LLC, Bermuda
PA
         PCT Int. Appl., 137pp.
SO
         CODEN: PIXXD2
DT
         Patent
LA
         English
FAN.CNT 1
                                                              DATE
                                                                                    APPLICATION NO.
         PATENT NO.
                                                KIND
                                                                                                                                  DATE
                                                                                    _____
                                                ____
                                                                                                                                 ______
         WO 2009026276
                                                 A1
                                                            20090226
                                                                                  WO 2008-US73573
                                                                                                                                20080819
РΤ
                 W: AE, AG, AL, AM, AO, AT, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
                        CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
                 KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TD, BE, BI, CF, CG, CI, CM, GA, GN, GO, GW, MI, MP, NE, SN, TD, RES, BI, CF, CG, CI, CM, GA, GN, GO, GW, MI, MP, NE, SN, TD, RE, BI, CF, CG, CI, CM, GA, GN, GO, GW, MI, MP, NE, SN, TD, RE, BI, CF, CG, CI, CM, GA, GN, GO, GW, MI, MP, NE, SN, TD, RE, BI, CF, CG, CI, CM, GA, GN, GO, GW, MI, MP, NE, SN, TD, RE, BI, CF, CG, CI, CM, GA, GN, GO, GW, MI, MP, NE, SN, TD, RES, RICHARD, CRASSING, CRASSIN
                         TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
                         TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
                         AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRAI US 2007-957260P
                                                 Ρ
                                                              20070822
         MARPAT 150:283067
OS
         Title compds. I [R1 = haloalkoxy having 1-6 F atoms; R2 = substituted
AΒ
         phenyl], and their pharmaceutically acceptable salts, are prepared and
         disclosed as protein kinase inhibitors. For example, compound II was prepared
         via Suzuki coupling of (5-bromopyrimidin-2-yl)-[4-(2-
         diethylaminoethoxy)phenyl]amine (preparation given) with
         4-(trifluoromethoxy) phenylboronic acid. I demonstrated IC50 values in the
         range of 10 nM to 2 \muM in kinase activity assays with fibroblast growth
         factor receptor (FGFR3). The invention is also directed to methods of
         treating, ameliorating, or preventing conditions associated with abnormal or
         deregulated kinase activity, such as asthma, atopic dermatitis, urticaria,
         irritable bowel syndrome, or fibrosis.
ΤТ
         1123512-96-8P
                                           1123512-99-1P
                                                                               1123513-90-5P
         1123513-92-7P
         RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN
         (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
         PREP (Preparation); USES (Uses)
                (preparation of ((haloalkoxy)phenyl)pyrimidinylamine compds. as protein
               kinase inhibitors)
RN
         1123512-96-8 CAPLUS
         1-Propanone, 2-[4-[5-[4-(difluoromethoxy)phenyl]-2-
CN
         pyrimidinyl]amino]phenyl]-3-hydroxy-1-(4-hydroxy-1-piperidinyl)-, (2R)-
         (CA INDEX NAME)
```

RN 1123512-99-1 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-3-hydroxy-1-(4-hydroxy-1-piperidinyl)-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-90-5 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-3-hydroxy-1-(4-methyl-1-piperazinyl)-, (2R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-92-7 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-3-hydroxy-1-(4-methyl-1-piperazinyl)-, (2S)-(CA INDEX NAME)

RN 1123513-94-9 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-3-hydroxy-1-[3-(trifluoromethyl)-1-piperazinyl]-(CA INDEX NAME)

RN 1123514-14-6 CAPLUS

CN 4,7-Diazaspiro[2.5]octane-7-carboxamide,

 $\begin{tabular}{ll} N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]- \\ (CA INDEX NAME) \end{tabular}$

RN 1123514-21-5 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-3-(trifluoromethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1123514-20-4 CMF C24 H23 F5 N6 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

12-40-2P 1123512-43-5P 12-47-9P 1123512-52-6P 12-59-3P 1123512-62-8P 12-68-4P 1123512-69-5P 12-75-3P 1123512-80-0P 12-86-6P 1123512-87-7P 13-02-9P 1123513-03-0P 13-29-0P 1123513-23-4P 13-29-0P 1123513-32-5P 13-38-1P 1123513-32-5P 13-47-2P 1123513-50-7P 13-56-3P 1123513-59-6P 13-65-4P 1123513-68-7P 13-80-3P 1123513-82-5P 13-86-9P 1123513-88-1P 13-98-3P 1123513-99-4P 14-04-4P 1123514-06-6P 14-10-2P 1123514-20-4P
14-10-2P 1123514-12-4P

1123514-43-1P 1123514-44-2P 1123514-47-5P 1123514-49-7P 1123514-51-1P 1123514-53-3P 1123514-55-5P 1123514-58-8P 1123514-60-2P 1123514-64-6P 1123514-62-4P 1123514-66-8P 1123514-68-0P 1123514-70-4P 1123514-72-6P 1123514-74-8P 1123514-76-0P 1123514-79-3P 1123514-81-7P 1123514-83-9P 1123514-85-1P 1123514-87-3P 1123514-89-5P 1123514-91-9P 1123514-93-1P 1123514-95-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of ((haloalkoxy)phenyl)pyrimidinylamine compds. as protein kinase inhibitors)

RN 1123512-27-5 CAPLUS

CN 2-Pyrimidinamine, N-[4-[2-(diethylamino)ethoxy]phenyl]-5-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

RN 1123512-30-0 CAPLUS

CN 2-Pyrimidinamine, N-[4-[2-(diethylamino)ethoxy]phenyl]-5-[4-(difluoromethoxy)phenyl]- (CA INDEX NAME)

RN 1123512-33-3 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenoxy]ethyl]- (CA INDEX NAME)

RN 1123512-36-6 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]methyl]- (CA INDEX NAME)

RN 1123512-40-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[4-[[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]methyl]- (CA INDEX NAME)

RN 1123512-43-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]=thyl]- (CA INDEX NAME)

RN 1123512-46-8 CAPLUS

CN 2-Piperidinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-1-methyl- (CA INDEX NAME)

RN 1123512-47-9 CAPLUS

CN 2-Piperidinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1123512-46-8

CMF C25 H27 F2 N5 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1123512-52-6 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-2-carboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-, (1S,2R,5R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123512-55-9 CAPLUS

CN 2-Piperidinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]- (CA INDEX NAME)

RN 1123512-59-3 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-5-oxo-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123512-62-8 CAPLUS

CN 3-Piperidinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-6-oxo- (CA INDEX NAME)

RN 1123512-65-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-6-fluoro- (CA INDEX NAME)

RN 1123512-68-4 CAPLUS

CN 1H-Imidazole-5-carboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]- (CA INDEX NAME)

RN 1123512-69-5 CAPLUS

CN 1H-Imidazole-5-carboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1123512-68-4 CMF C22 H18 F2 N6 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1123512-74-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[2-methyl-5-[[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-5-oxo-, (2S)- (CA INDEX NAME)

RN 1123512-75-3 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[2-methyl-5-[[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-5-oxo-, (2S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1123512-74-2 CMF C23 H20 F3 N5 O3

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1123512-80-0 CAPLUS

CN 3-Pyrrolidinecarboxamide, 1-cyclopropyl-N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-5-oxo-(CA INDEX NAME)

$$\begin{array}{c} & & & \\ & &$$

RN 1123512-83-3 CAPLUS

CN 3-Piperidinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-1-ethyl-6-oxo- (CA INDEX NAME)

RN 1123512-86-6 CAPLUS

CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-N-(2-fluoroethy1)-<math>\alpha$ -(hydroxymethy1)- (CA INDEX NAME)

RN 1123512-87-7 CAPLUS

CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-(2-fluoroethyl)-<math>\alpha$ -(hydroxymethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1123512-86-6 CMF C22 H21 F3 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1123512-93-5 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-3-hydroxy-1-(4-hydroxy-1-piperidinyl)- (CA INDEX NAME)

RN 1123513-02-9 CAPLUS

CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-\alpha-(hydroxymethyl)-N-[(2R)-2-hydroxypropyl]-, (<math>\alpha$ R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-03-0 CAPLUS

CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-\alpha-(hydroxymethyl)-N-[(2R)-2-hydroxypropyl]-, (<math>\alpha$ R)-,

2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1123513-02-9

CMF C23 H24 F2 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1123513-08-5 CAPLUS

CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-\alpha-(hydroxymethy1)-N-[(2R)-2-hydroxypropy1]-, (<math>\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-09-6 CAPLUS

CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-\alpha-(hydroxymethyl)-N-[(2R)-2-hydroxypropyl]-, (<math>\alpha$ S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1123513-08-5 CMF C23 H24 F2 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1123513-14-3 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]- α -(hydroxymethyl)-N-[(2S)-2-hydroxypropyl]-, (α R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-17-6 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]- α -(hydroxymethyl)-N-[(2S)-2-hydroxypropyl]-, (α S)- (CA INDEX NAME)

RN 1123513-20-1 CAPLUS

CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-\alpha-(hydroxymethy1)-N-[(2S)-2-hydroxypropy1]- (CA INDEX NAME)$

Absolute stereochemistry.

RN 1123513-23-4 CAPLUS

CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-(trans-4-hydroxycyclohexyl)-<math>\alpha$ -(hydroxymethyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 1123513-26-7 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N- (trans-4-hydroxycyclohexyl)- α -(hydroxymethyl)-, (α R)- (CA INDEX NAME)

RN 1123513-29-0 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N- (trans-4-hydroxycyclohexyl)- α -(hydroxymethyl)-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-32-5 CAPLUS

CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-(cis-4-hydroxycyclohexyl)-<math>\alpha$ -(hydroxymethyl)-, (α R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-35-8 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-(cis-4-hydroxycyclohexyl)- α -(hydroxymethyl)-, (α S)- (CA INDEX NAME)

RN 1123513-38-1 CAPLUS

CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-(cis-4-hydroxycyclohexyl)-<math>\alpha$ -(hydroxymethyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 1123513-41-6 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidinyl]amino]phenyl]-3-hydroxy-1-(3-hydroxy-1-piperidinyl)- (CA INDEX NAME)

RN 1123513-44-9 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]pheny1]-3-hydroxy-1-[(3S)-3-hydroxy-1-piperidiny1]- (CA INDEX NAME)

RN 1123513-47-2 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-3-hydroxy-1-[(3S)-3-hydroxy-1-piperidinyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-50-7 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-3-hydroxy-1-[(3S)-3-hydroxy-1-piperidinyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-53-0 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-3-hydroxy-1-[(3R)-3-hydroxy-1-piperidinyl]- (CA INDEX NAME)

RN 1123513-56-3 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-3-hydroxy-1-[(3R)-3-hydroxy-1-piperidinyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-59-6 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-3-hydroxy-1-[(3R)-3-hydroxy-1-piperidinyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-62-1 CAPLUS

CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-(2-hydroxycyclopentyl)-<math>\alpha$ -(hydroxymethyl)- (CA INDEX NAME)

RN 1123513-65-4 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-[(1R,2R)-2-hydroxycyclopentyl]- α -(hydroxymethyl)-, (α R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-68-7 CAPLUS

CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-[(1S,2R)-2-hydroxycyclopentyl]-<math>\alpha$ -(hydroxymethyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-71-2 CAPLUS

CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-[(1S,2S)-2-hydroxycyclopentyl]-<math>\alpha$ -(hydroxymethyl)- (CA INDEX NAME)

RN 1123513-74-5 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N- [(1R,2R)-2-hydroxycyclopentyl]- α -(hydroxymethyl)-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-76-7 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-[(1S,2S)-2-hydroxycyclopentyl]- α -(hydroxymethyl)-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-78-9 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-[(1S,2R)-2-hydroxycyclopentyl]- α -(hydroxymethyl)-, (α S)- (CA INDEX NAME)

RN 1123513-80-3 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-[(1S,2S)-2-hydroxycyclopentyl]- α -(hydroxymethyl)-, (α R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-82-5 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-[(1S,2R)-2-hydroxycyclopentyl]- α -(hydroxymethyl)-, (α R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1123513-84-7 CAPLUS

CN 2-Propen-1-one, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-1-(4-hydroxy-1-piperidinyl)- (CA INDEX NAME)

RN 1123513-86-9 CAPLUS

CN Ethanone, 2-[4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]pheny1]-1-(4-hydroxy-1-piperidiny1)- (CA INDEX NAME)

RN 1123513-88-1 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]pheny1]-3-hydroxy-1-(4-methy1-1-piperaziny1)- (CA INDEX NAME)

RN 1123513-96-1 CAPLUS

CN 1-Propanone, 2-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-3-hydroxy-1-[4-methyl-3-(trifluoromethyl)-1-piperazinyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO-CH}_2 \\ & \text{N-C-CH} \\ & \text{N} \end{array}$$

RN 1123513-98-3 CAPLUS

CN 1,4-Piperidinedicarboxamide, N1-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]- (CA INDEX NAME)

RN 1123513-99-4 CAPLUS

CN 1,4-Piperidinedicarboxamide, N1-[5-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-2-methylpheny1]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1123513-98-3 CMF C25 H26 F2 N6 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1123514-02-2 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-4-methyl- (CA INDEX NAME)

RN 1123514-04-4 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-4-(methylsulfonyl)- (CA INDEX NAME)

RN 1123514-06-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-acetyl-N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]- (CA INDEX NAME)

RN 1123514-08-8 CAPLUS

CN Urea, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-N'-(1,3-dimethyl-1H-pyrazol-5-yl)- (CA INDEX NAME)

RN 1123514-10-2 CAPLUS

CN 4-Morpholinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]- (CA INDEX NAME)

RN 1123514-12-4 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-

pyrimidinyl]amino]-2-methylphenyl]-4-(2-hydroxyethyl)- (CA INDEX NAME)

RN 1123514-16-8 CAPLUS

CN 4,7-Diazaspiro[2.5]octane-7-carboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-4-methyl- (CA INDEX NAME)

RN 1123514-18-0 CAPLUS

CN 4,7-Diazaspiro[2.5]octane-7-carboxamide, N-[5-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-2-methylpheny1]-4-(2-hydroxyethy1)- (CA INDEX NAME)

$$\begin{array}{c} \text{F}_2\text{CH}-\text{O} \\ \text{N} \\ \text{N} \\ \text{C} \\ \text{O} \\ \text{N} \\ \text{CH}_2-\text{CH}_2-\text{OH} \\ \end{array}$$

RN 1123514-20-4 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidinyl]amino]-2-methylphenyl]-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1123514-25-9 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-4-methyl-3-(trifluoromethyl)- (CA INDEX NAME)

RN 1123514-26-0 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-4-methyl-3-(trifluoromethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1123514-25-9 CMF C25 H25 F5 N6 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 1123514-29-3 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-4-methyl-3-oxo- (CA INDEX NAME)

RN 1123514-31-7 CAPLUS

CN 1-Piperazinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-4-(2-hydroxyethyl)-3-oxo- (CA INDEX NAME)

RN 1123514-33-9 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[3-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]phenoxy]ethyl]- (CA INDEX NAME)

RN 1123514-35-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]=thyl]- (CA INDEX NAME)

$$F_2CH-O$$
 N
 NH
 CH_2-CH_2-N
 CO_2H

RN 1123514-37-3 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]methyl]- (CA INDEX NAME)

RN 1123514-39-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[5-[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-fluorophenoxy]ethyl]- (CA INDEX NAME)

1123514-41-9 CAPLUS RN

4-Piperidinecarboxylic acid, 1-[2-[5-[[5-[4-(difluoromethoxy)phenyl]-2-CN pyrimidinyl]amino]-2-methylphenyl]ethyl]- (CA INDEX NAME)

RN 1123514-43-1 CAPLUS

CN 2-Piperazinone, 4-[4-[5-[4-(difluoromethoxy)pheny1]-2pyrimidinyl]amino]benzoyl]-1-methyl- (CA INDEX NAME)

1123514-44-2 CAPLUS RN

CN 2-Piperazinone, 4-[4-[5-[4-(difluoromethoxy)pheny1]-2pyrimidinyl]amino]benzoyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 1123514-43-1

CMF C23 H21 F2 N5 O3

CM

CRN 76-05-1 CMF C2 H F3 O2

RN 1123514-47-5 CAPLUS

CN 2-Piperazinone, 4-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]benzoyl]-1-(2-hydroxyethyl)- (CA INDEX NAME)

RN 1123514-49-7 CAPLUS

CN 2-Piperazinone, 4-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]benzoyl]- (CA INDEX NAME)

RN 1123514-51-1 CAPLUS

CN Methanone, [4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl][3-(trifluoromethyl)-1-piperazinyl]- (CA INDEX NAME)

RN 1123514-53-3 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]ethyl]-, ethyl ester (CA INDEX NAME)

RN 1123514-55-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-hydroxyphenyl]ethyl]- (CA INDEX NAME)

RN 1123514-58-8 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[1-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]=thyl]- (CA INDEX NAME)

RN 1123514-60-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]methyl]- (CA INDEX NAME)

RN 1123514-62-4 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-fluorophenyl]methyl]- (CA INDEX NAME)

RN 1123514-64-6 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-hydroxyphenyl]methyl]- (CA INDEX NAME)

RN 1123514-66-8 CAPLUS

CN 2-Piperazinone, 4-[3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]benzoyl]-1-(4-piperidinyl)- (CA INDEX NAME)

RN 1123514-68-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-[3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]benzoyl]-2-oxo-1-piperazinyl]-, 1-methylethyl ester (CA INDEX NAME)

RN 1123514-70-4 CAPLUS

CN 2H-Pyran-4-carboxamide, N-[1-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-2-hydroxyethyl]tetrahydro- (CA INDEX NAME)

RN 1123514-72-6 CAPLUS

CN 3-Piperidinecarboxamide, N-[1-[4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]pheny1]-2-hydroxyethy1]-1-ethy1-6-oxo- (CA INDEX NAME)

RN 1123514-74-8 CAPLUS

CN 3-Pyrrolidinecarboxamide, 1-cyclopropyl-N-[1-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-2-hydroxyethyl]-5-oxo-(CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 1123514-76-0 CAPLUS

CN 4-Isoxazolecarboxamide, N-[1-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-2-hydroxyethyl]-3,5-dimethyl- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 1123514-79-3 CAPLUS

CN 5-Isoxazolecarboxamide, N-[1-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-2-hydroxyethyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 1123514-81-7 CAPLUS

CN 5-Isoxazoleacetamide, N-[1-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-2-hydroxyethyl]-3-methyl- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 1123514-83-9 CAPLUS

CN 2H-Pyran-4-acetamide, N-[1-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-2-hydroxyethyl]tetrahydro- (CA INDEX NAME)

RN 1123514-85-1 CAPLUS

CN 1,3-Piperidinedicarboxamide, N3-[1-[4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-2-hydroxyethyl]- (CA INDEX NAME)

RN 1123514-87-3 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane-2-carboxamide,

N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]- (CA INDEX NAME)

RN 1123514-89-5 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[5-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]-2-methylpheny1]-5-oxo- (CA INDEX NAME)

RN 1123514-91-9 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[2-methyl-5-[[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]-5-oxo- (CA INDEX NAME)

RN 1123514-93-1 CAPLUS

CN Benzeneacetamide, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]- $\alpha-(hydroxymethyl)-N-(2-hydroxypropyl)- (CA INDEX NAME)$

RN 1123514-95-3 CAPLUS

CN Benzeneacetamide, $4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-N-(4-hydroxycyclohexyl)-<math>\alpha$ -(hydroxymethyl)- (CA INDEX NAME)

IT 1123515-96-7P 1123515-98-9P 1123516-01-7P 1123516-04-0P 1123516-06-2P 1123516-08-4P

1123516-10-8P

RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of ((haloalkoxy)phenyl)pyrimidinylamine compds. as protein kinase inhibitors)

RN 1123515-96-7 CAPLUS

CN Benzeneacetic acid, $4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]- <math>\alpha-(hydroxymethyl)-$, $(\alpha R)-$ (CA INDEX NAME)

Absolute stereochemistry.

RN 1123515-98-9 CAPLUS

CN Benzeneacetic acid, $4-[[5-[4-(difluoromethoxy)pheny1]-2-pyrimidiny1]amino]- <math>\alpha-(hydroxymethy1)-$, $(\alpha S)-$ (CA INDEX NAME)

Absolute stereochemistry.

RN 1123516-01-7 CAPLUS

CN 4,7-Diazaspiro[2.5]octane-7-carboxamide, N-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]-4-(phenylmethyl)- (CA INDEX NAME)

RN 1123516-04-0 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]amino]carbonyl]-2-(trifluoromethyl)-, ethyl ester (CA INDEX NAME)

RN 1123516-06-2 CAPLUS

CN Ethanol, 2-[3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenoxy]-, 1-methanesulfonate (CA INDEX NAME)

RN 1123516-08-4 CAPLUS

CN Benzeneethanol, 3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-, 1-methanesulfonate (CA INDEX NAME)

RN 1123516-10-8 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[[3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]methyl]-, methyl ester (CA INDEX NAME)

ΙT	1123515-34-3P	1123515-38-7P	1123515-40-1P
	1123515-42-3P	1123515-44-5P	1123515-47-8P
	1123515-49-0P	1123515-57-0P	1123515-59-2P
	1123515-61-6P	1123515-63-8P	1123515-65-0P
	1123515-67-2P	1123515-69-4P	1123515-81-0P
	1123515-83-2P	1123515-85-4P	1123515-87-6P
	1123515-92-3P		

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of ((haloalkoxy)phenyl)pyrimidinylamine compds. as protein kinase inhibitors)

RN 1123515-34-3 CAPLUS

CN Benzeneacetic acid, $4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]- <math>\alpha-(hydroxymethyl)-$ (CA INDEX NAME)

RN 1123515-38-7 CAPLUS

CN 2-Pyrimidinamine, 5-[4-(difluoromethoxy)phenyl]-N-(4-methyl-3-nitrophenyl)-(CA INDEX NAME)

RN 1123515-40-1 CAPLUS

CN 1,3-Benzenediamine, N1-[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]-4-methyl- (CA INDEX NAME)

RN 1123515-42-3 CAPLUS

CN 2-Pyrimidinamine, N-(4-methyl-3-nitrophenyl)-5-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

RN 1123515-44-5 CAPLUS

CN 1,3-Benzenediamine, 4-methyl-N1-[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]- (CA INDEX NAME)

RN 1123515-47-8 CAPLUS

CN Benzeneacetic acid, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

RN 1123515-49-0 CAPLUS

CN Benzeneacetic acid, $4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]- <math>\alpha-(hydroxymethyl)-$, ethyl ester (CA INDEX NAME)

RN 1123515-57-0 CAPLUS

CN Phenol, 3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 1123515-59-2 CAPLUS

CN Ethanol, 2-[3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenoxy]- (CA INDEX NAME)

RN 1123515-61-6 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenoxy]ethyl]-, ethyl ester (CA INDEX NAME)

RN 1123515-63-8 CAPLUS

CN Benzeneethanol, 3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 1123515-65-0 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]ethyl]-, ethyl ester (CA INDEX NAME)

RN 1123515-67-2 CAPLUS

CN Benzenemethanol, 3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 1123515-69-4 CAPLUS

CN Benzenemethanol, 3-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-,

1-methanesulfonate (CA INDEX NAME)

RN 1123515-81-0 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-fluorophenoxy]ethyl]-, methyl ester (CA INDEX NAME)

RN 1123515-83-2 CAPLUS

CN Benzeneethanol, 5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methyl- (CA INDEX NAME)

RN 1123515-85-4 CAPLUS

CN Benzeneethanol, 5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methyl-, 1-methanesulfonate (CA INDEX NAME)

RN 1123515-87-6 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[5-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]-2-methylphenyl]ethyl]-, ethyl ester (CA INDEX NAME)

RN 1123515-92-3 CAPLUS

CN Benzoic acid, 4-[[5-[4-(difluoromethoxy)phenyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 4 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
T. 4
         2008:1127907 CAPLUS
ΑN
         149:402373
DN
         (Phenylamino) pyrimidine derivatives as protein kinases inhibitors and
ΤI
         their preparation, pharmaceutical compositions and use in the treatment of
IN
         Burns, Christopher John; Donohue, Andrew Craig; Feutrill, John Thomas;
         Ngygen, Thao Lien Thi; Wilks, Andrew Frederick; Zeng, Jun
         Cytopia Research Pty Ltd, Australia
PA
SO
         PCT Int. Appl., 104pp.
         CODEN: PIXXD2
DT
         Patent
         English
LA
FAN.CNT 1
                                             KIND
                                                          DATE
                                                                              APPLICATION NO.
                                                                                                                         DATE
         PATENT NO.
         WO 2008109943
                                                         20080918
                                                                             WO 2008-AU339
                                                                                                                         20080312
PΙ
                                              Α1
               W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, TE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
```

AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRAI US 2007-894264P P 20070312
US 2007-16252P P 20071221

OS MARPAT 149:402373

AΒ

The invention relates to (phenylamino)pyrimidine derivs. of formula I, which are inhibitors of protein kinases including JAK kinases. In particular, the compds. are selective for JAK2 kinases. The kinase inhibitors can be used in the treatment of kinase associated diseases such as immunol. and inflammatory diseases including organ transplants; hyperproliferative diseases including cancer and myeloproliferative diseases; viral diseases; metabolic diseases; and vascular diseases. Compds. of formula I wherein Q and Z are independently N and CR1; R1 is H, halo, R2, OR2, OH, R4, OR4, CN, CF3, (CH2)1-3-N(R2)2, NO2, etc.; R2 is (un) substituted C1-4 alkyl and (un) substituted C1-4 alkylene where up to two carbon atoms can be optionally replaced with CO, NH and derivs., CONH and derivs., S, SO2 and O; R4 is NH2 and derivs., (un)substituted (thio)morpholino, (un)substituted thiomorpholino-1-oxide, etc.; R6-R10 are independently H, RxCN, halo, (un) substituted C1-4 alkyl, OR1, CO2R1, N(R1)2, NO2, CON(R1)2, etc.; Rx is absent, (un)substituted C1-6 alkylene where up to two carbon atoms can be optionally replaced with CO, NSO2R1, CONH and derivs., S, SO2 and O; R11 is H, halo, (un)substituted C1-4 alkyl, OR2, CO2R2, CN, CON(R1)2 and CF3; and their enantiomers, prodrugs and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepd.via Suzuki coupling of 4-(ethoxycarbonyl)phenylboronic acid with 2,4-dichloropyrimidine followed by amination with 4-morpholinoaniline, hydrolysis and amidation with aminoacetonitrile. All the invention compds. were evaluated for their protein kinases inhibitory activity. From the assay, it was determined that II exhibited an IC50 value of < 5 μ M against JAK2.

IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,

IT 1056635-77-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of (phenylamino)pyrimidine derivs. as protein kinase inhibitors useful in treatment of diseases)

RN 1056635-77-8 CAPLUS

CN Acetamide, 2-cyano-N-[4-[2-[[4-(4-morpholinyl)phenyl]amino]-4-pyrimidinyl]-2-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 5 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
L4
          2008:1006418 CAPLUS
ΑN
          149:288795
DN
          New phenyl(4-phenylpyrimidin-2-yl)amine derivatives, their preparation as
ΤI
          IKK inhibitors and their pharmaceutical compositions
IN
          Bouaboula, Monsif; Casellas, Pierre; Dudal, Sherri; Floutard, Regine;
          Mendez-Perez, Maria; Nquefack, Jean-Flaubert; Olsen, Jacob-Alsboek;
          Tonnerre, Bernard; Wagnon, Jean
          Sanofi-Aventis, Fr.
PA
          PCT Int. Appl., 142pp.
SO
          CODEN: PIXXD2
DT
          Patent
          French
LA
FAN.CNT 2
                                                                 DATE
          PATENT NO.
                                                  KIND
                                                                                       APPLICATION NO.
                                                                                                                                      DATE
                                                                                        _____
          WO 2008099074
                                                                 20080821
                                                                                      WO 2008-FR3
                                                                                                                                        20080102
PΙ
                                                    A1
                  W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, MI, MR, NE, SN, TD,
                  W: AE, AG, AL, AM, AO, AT, AU,
                                                                                  "AŽ, BA, BB, BG, BH, BR, BW, BY, BZ,
                          TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
                          AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          FR 2911139
                                                    A1
                                                                 20080711
                                                                                       FR 2007-65
                                                                                                                                        20070105
PRAI FR 2007-65
                                                                 20070105
                                                    Α
         MARPAT 149:288795
OS
          The invention is related to the preparation of
AΒ
          phenyl(4-phenylpyrimidin-2-yl)amines I [R, R5 = independently H, halo;
          R2-4 = independently H, halo, CN, CONH2, alkyl, etc.; Z = CO, SO2; NDW is
          defined as follows: (a) either W = ring(Y) and D = H, (un)substituted
          cycloalkyl, alk(en/yn)yl; ring(Y) = 4-10 membered saturated or partially
saturated
          mono- or bicyclyl with Y = O, S, SO, SO2, NH and derivs., CO, etc., e.g.
          pyrrolidinyl, dioxothiophenyl, and tetrahydropyranyl, with proviso; (b) or
          NWD = 4-7 saturated membered ring substituted by 2 substituents on the same
          carbon and optionally containing a C bridge comprising 1-3 C's], their
          isomers, and their mineral and organic acid addition salts as IKK inhibitors.
          For instance, S-methylation of 2-thiopyrimidin-4-ol with Me iodide,
          reaction of the methylsulfanyl intermediate with aniline, chlorination of
          pyrimidinol with POC13, chlorosulfonation of the aniline intermediate with
          chlorosulfonic acid, reaction of the sulfonyl chloride with
          4-[methyl(tert-butoxycarbonyl)amino]piperidine, Suzuki coupling of the
          chloride with 4-fluorophenylboronic acid and cleavage of the
          tert-butoxycarbonyl group gave pyrimidine II (m.p. = 202.9°).
          inhibited IKK1 and IKK2 with an IC50 <10 \mu M. Pyrimidines I displayed
          IC50's <10 \muM against proliferation of breast, prostate, colon, and
          lung cancer, glioblastoma and leukemia cell lines. Thus, I and their
          pharmaceutical compns., are useful for treating inflammation (no data),
          diabetes (no data), and neoplasm (data).
ΤТ
          1049105-11-4P, 4-[(Pyrrolidin-1-yl)methyl]-1-[[4-[[4-(4-yl)methyl]]-1-[[4-[[4-(4-yl)methyl]]-1-[[4-[[4-(4-yl)methyl]]-1-[[4-[[4-(4-yl)methyl]]-1-[[4-[[4-(4-yl)methyl]]-1-[[4-[[4-(4-yl)methyl]]-1-[[4-[[4-(4-yl)methyl]]-1-[[4-[[4-(4-yl)methyl]]-1-[[4-[[4-(4-yl)methyl]]-1-[[4-[[4-(4-yl)methyl]]-1-[[4-[4-(4-yl)methyl]]-1-[[4-(4-yl)methyl]]-1-[[4-(4-yl)methyl]]-1-[[4-(4-yl)methyl]]-1-[[4-(4-yl)methyl]-1-[[4-(4-yl)methyl]]-1-[[4-(4-yl)methyl]]-1-[[4-(4-yl)methyl]]-1-[[4-(4-yl)methyl]]-1-[[4-(4-yl)methyl]]-1-[[4-(4-yl)methyl]]-1-[[4-(4-yl)methyl]]-1-[[4-(4-yl)methyl]]-1-[[4-(4-yl)methyl]]-1-[4-(4-yl)methyl]]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4-yl)methyl]-1-[4-(4
          trifluoromethoxyphenyl)pyrimidin-2-yl]amino]phenyl]sulfonyl]piperidin-4-ol
```

10/577,047

1049105-24-9P 1049105-35-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of phenyl(4-phenylpyrimidin-2-yl)amines as IKK inhibitors for treating inflammation, diabetes, and neoplasm)

RN 1049105-11-4 CAPLUS

CN

4-Piperidinol, 4-(1-pyrrolidinylmethyl)-1-[[4-[4-(4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]phenyl]sulfonyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 1049105-24-9 CAPLUS

CN 2-Pyrimidinamine, N-[4-[[4-[(R)-amino(4-fluorophenyl)methyl]-1-piperidinyl]sulfonyl]phenyl]-4-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 1049105-35-2 CAPLUS

CN 2-Pyrimidinamine, N-[4-[[4-[(S)-amino(4-fluorophenyl)methyl]-1-piperidinyl]sulfonyl]phenyl]-4-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 6 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
L4
      2007:1274706 CAPLUS
ΑN
DN
      147:522221
      Preparation of carboxylic acid derivatives containing thiazole moiety for
ΤI
      the treatment of diabetic hyperlipidemia
IN
      Tamakawa, Hiroki; Iizuka, Hiroyuki; Sakai, Kaoru
PA
      Mitsubishi Pharma Corporation, Japan
      PCT Int. Appl., 517pp.
SO
      CODEN: PIXXD2
DT
      Patent
      Japanese
LA
FAN.CNT 1
      PATENT NO.
                              KIND
                                       DATE
                                                     APPLICATION NO.
                                                                                  DATE
                                                      _____
      WO 2007126043
                                        20071108)
                                                     WO 2007-JP59151
                                                                                   20070427
РΤ
                                Α1
           W: AE, AG, AL, AM, AR, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM,
                KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK,
               MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
          MN, MW, MX, MI, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PI, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, CH, GM, KE, LS, MW, MZ, NA, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AZ
                GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
                BY, KG, KZ, MD, RU, TJ, TM
PRAI JP 2006-122804
                               Α
                                       20060427
     MARPAT 147:522221
OS
      Title compds. I [R1, R2 = H or alkyl; R1 and R2 may combine to form a
AB
      cycloalkyl group; R3 = H or alkyl; R4 = H, alkyl or aryl; n = 1-5; Y =
      oxygen, sulfur atom, -NR5-, etc.; R5 = H, alkyl, cycloalkyl-alkyl, etc.; Z
      = cycloalkyl, aryl, arylalkyl, etc.] or pharmaceutically acceptable salts,
      hydrates or solvates thereof were prepared For example, a multi-step
      synthesis of compound II, starting from 4-chloro-3-oxobutanoic acid Et
      ester, was given. Compds. herein were tested for plasma triglyceride (TG)
      decreasing effect, free fatty acid (FFA) decreasing effect and serum HDL
      cholesterol increasing effect.
ΙT
      886529-09-5P
                           886529-11-9P
                                                886529-18-6P
      886529-19-7P
                           886529-20-0P
                                                886529-21-1P
      886529-44-8P
                           886529-45-9P
                                                886529-63-1P
      886529-64-2P
                           886530-11-6P
                                               886535-99-5P
      RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
      (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (Uses)
          (preparation of carboxylic acid derivs. containing thiazole moiety for
treatment
          of diabetic hyperlipidemia)
RN
      886529-09-5 CAPLUS
      Propanoic acid, 2-\text{methyl}-2-[[4-[2-[[5-[4-(\text{trifluoromethoxy})phenyl]]-2-
CN
      pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]- (CA INDEX NAME)
```

$$\begin{array}{c|c} \text{Me} & \\ \text{HO}_2\text{C} - \text{C} - \text{S} & \\ \text{Me} & \text{S} \end{array} \quad \text{CH}_2 - \text{CH}_2 - \text{NH} \\ \end{array}$$

RN 886529-11-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[methyl[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{Me} \\ \text{HO}_2\text{C}-\text{C}-\text{S} & \text{N} \\ \text{Me} & \text{S} & \text{CH}_2-\text{CH}_2-\text{N} \\ \end{array}$$

RN 886529-18-6 CAPLUS

CN Propanoic acid, 2-[[4-[2-[ethyl[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{HO}_2\text{C}-\text{C}-\text{S} \\ \text{Me} \\ \text{S} \end{array} \begin{array}{c} \text{Et} \\ \text{CH}_2-\text{CH}_2-\text{N} \\ \text{N} \end{array} \begin{array}{c} \text{O}-\text{CF}_3 \\ \text{N} \\ \text{N} \end{array}$$

RN 886529-19-7 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[(1-methylethyl)[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-(CA INDEX NAME)

RN 886529-20-0 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[4-(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]- (CA INDEX NAME)

RN 886529-21-1 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[3-(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]- (CA INDEX NAME)

RN 886529-44-8 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[3-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 886529-45-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[methyl[5-[3-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:?) (CA INDEX NAME)

•x HCl

RN 886529-63-1 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-methyl-5-[2-[[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:?) (CA INDEX NAME)

RN 886529-64-2 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-methyl-5-[2-[methyl[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:?) (CA INDEX NAME)

Me HO₂C
$$-$$
C $-$ S $-$ N Me Me S $-$ CH₂ $-$ CH₂ $-$ N $-$ Me $-$ N $-$ N $-$ Me $-$ F₃C $-$ O

RN 886530-11-6 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} \\ \text{HO}_2\text{C} - \begin{array}{c} \text{C} \\ \text{C} \\ \text{Me} \end{array} \\ \text{S} \end{array} \begin{array}{c} \text{N} \\ \text{CH}_2 - \text{CH}_2 - \text{NH} \\ \text{N} \end{array} \begin{array}{c} \text{N} \\ \text{O} - \text{CF}_3 \end{array}$$

•x HCl

RN 886535-99-5 CAPLUS

CN Acetic acid, 2-[[4-[2-[[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]- (CA INDEX NAME)

IT 886529-08-4P 886529-10-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

10/577,047

(preparation of carboxylic acid derivs. containing thiazole moiety for treatment

of diabetic hyperlipidemia)

RN 886529-08-4 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 886529-10-8 CAPLUS

CN Propanoic acid, $2\text{-methyl-}2\text{-}[[4\text{-}[2\text{-}[methyl[5\text{-}[4\text{-}(trifluoromethoxy)phenyl]}-2\text{-}pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, 1,1-dimethylethyl ester (CA INDEX NAME)$

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 7 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
L4
     2006:1253175 CAPLUS
ΑN
     146:27856
DN
     Preparation of 4-amino pyrimidine compounds as modulators of ATP-binding
ΤI
     cassette transporters for treating disease
IN
     Hadida Ruah, Sara S.; Hazlewood, Anna R.; Grootenhuis, Peter D. J.; Singh,
     Ashvani K.; Cleveland, Thomas; Van Goor, Frederick F.
     Vertex Pharmaceuticals Incorporated, USA
PA
     PCT Int. Appl., 106 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                            KIND
                                     DATE
                                                   APPLICATION NO.
                                                                              DATE
                             ____
                                                   ______
                                                                              _____
                                     20061130
     WO 2006127588
                             A2
                                                   WO 2006-US19712
                                                                              20060522
PΤ
     WO 2006127588
                             А3
                                     20070726,
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
               VN, YU, ZA, ZM, ZW
          RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
               IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
               CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
               GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
     AU 2006251624
                                     20061130
                                                AU 2006-251624
                             A1
                                                                              20060522
     CA 2609392
                             Α1
                                     20061130
                                                   CA 2006-2609392
                                                                              20060522
                                                   US 2006-438636
     US 20070105833
                             Α1
                                     20070510
                                                                              20060522
     EP 1891018
                             Α2
                                     20080227
                                                   EP 2006-770825
                                                                              20060522
              AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
               IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
               BA, HR, MK, YU
     JP 2008542279
                              Τ
                                     20081127
                                                   JP 2008-513583
                                                                              20060522
     IN 2007KN04531
                                     20080208
                                                   IN 2007-KN4531
                                                                              20071123
                             Α
     CN 101223146
                             Α
                                     20080716
                                                   CN 2006-80025869
                                                                              20080115
PRAI US 2005-683982P
                              Ρ
                                     20050524
     WO 2006-US19712
                              W
                                     20060522
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
     CASREACT 146:27856; MARPAT 146:27856
OS
AΒ
     4-Amido-pyrimidine compds., derivs. and compns. thereof, and synthetic
     methods described are useful for modulating ATP-Binding Cassette ("ABC")
     transporters or fragments thereof, including Cystic Fibrosis Transmembrane
     Conductance Regulator ("CFTR"). The present invention also relates to
     methods of treating ABC transporter mediated diseases using such
     modulators. The compds. of the invention have general formula I (wherein
     Ra = H, (un) substituted aliphatic, (un) substituted aryl, etc.; Rb =
```

and sulfinyl intermediates. IT 915965-79-6P, 2-Diethylamino-6-[3-

(un) substituted heterocycloaliph., (un) substituted cycloaliph., or aliphatic; Rd = H, (un) substituted aliphatic or aryl, etc.; A = (un) substituted aryl or heteroaryl). For example, 2-(dimethylamino)-6-(2-methoxyphenyl) pyrimidine-4-carboxamide was prepared in 5 steps via dioxobutanoic acid, methylthio,

(un) substituted aliphatic, (un) substituted aryl, etc.; Rc = H,

(trifluoromethoxy)phenyl]pyrimidine-4-carboxamide 915967-01-0P, 2-Diethylamino-6-[4-(trifluoromethoxy)phenyl]pyrimidine-4-carboxamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 4-amino pyrimidine compds. as modulators of ATP-binding cassette transporters for treating disease)

RN 915965-79-6 CAPLUS

CN

4-Pyrimidinecarboxamide, 2-(diethylamino)-6-[3-(trifluoromethoxy)phenyl]-(CA INDEX NAME)

RN 915967-01-0 CAPLUS

CN 4-Pyrimidinecarboxamide, 2-(diethylamino)-6-[4-(trifluoromethoxy)phenyl]-(CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

- ANSWER 8 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN L42006:1089222 CAPLUS ΑN DN 145:438632 Preparation of phenylheteroaryl compounds, herbicides containing them, and ΤI their usage INTakizawa, Eiji; Kumata, Shuji; Kiyokawa, Takahiro PANihon Nohyaku Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 29pp. SO CODEN: JKXXAF DT Patent Japanese LA FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE _____ JP 2006282552 20061019 JP 2005-102985 20050331 РΤ Α PRAI JP 2005-102985 20050331 MARPAT 145:438632 OS The compds. I [R1 = H, C1-8] (halo)alkoxy, AB (un) substituted Ph, etc.; R2 = H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C1-8 (halo)alkylsulfonyl, etc.; R3 = halo, C1-8 (halo)alkyl, C1-8 alkoxycarbonyl, SiMe3, cyano, NO2, etc.; 2 neighboring R3s may be bonded together to form OCF2CF2O, OCH2O, OCH2CH2O; G = CO, CS, CR62 (R6 = H, cyano, C1-8 alkyl: R6s may be bonded together to form a C, N, O, or S-containing 3-6-membered ring; X = direct bond, CO, CS, SOq (q = 1, 2); Y =CR7 R7 = H, halo, cyano, NO2, OH, CO2H, SF5, C1-8 alkylamino, etc.), $N\rightarrow Op$ (p = 0, 1), wherein ≥ 2 of Y = $N\rightarrow Op$; t = 0-2; m = 1-5] or their salts are claimed. Also claimed are herbicides containing I or their salts and usage of herbicides to apply them to soils or plant. Thus, a EtOAc solution of [4-methyl-6-(3-trifluoromethoxyphenyl)pyrimidin-2yl]methylamine and Et3N was treated with cyclopropanecarbonyl chloride at $0-4^{\circ}$ for 30 min to give 83% N-[[4-methyl-6-(3-trifluoromethoxyphenyl)pyrimidin-2yl]methyl]cyclopropanecarboxamide. This showed ≥90% inhibition at 1000 g a.i./ha on growth of Scirpus hotarui, Monochoria vaginalis, Lindernia pyxidaria, etc. ΙT 912850-79-4P RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN
- (CA INDEX NAME)

(preparation of phenylheteroaryl compds. as herbicides)

(Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES

2-Pyrimidinecarboxamide, N-(4-fluorophenyl)-4-[4-(trifluoromethoxy)phenyl]-

912850-79-4 CAPLUS

RN

CN

CN 2-Pyrimidinamine, N, 4-dimethyl-6-[3-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4

```
ANSWER 9 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
     2006:436703 CAPLUS
ΑN
     144:468151
DN
     Preparation of carboxylic acid derivatives containing thiazole moiety as
ΤI
     PPAR\alpha agonists
ΙN
     Tozawa, Takashi; Tsuruta, Osamu; Kitajima, Hiroshi; Aoki, Yoshiyuki; Ando,
     Naoko; Tamakawa, Hiroki
     Mitsubishi Pharma Corporation, Japan
PA
     PCT Int. Appl., 512 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     Japanese
FAN.CNT 1
                                  DATE
                                               APPLICATION NO.
     PATENT NO.
                          KIND
                                                                        DATE
                                  L----
                                               ______
                          ____
                                                                       _____
                                              WO 2005-JP20262
     WO 2006049232
                           A1
                                 20060511)
                                                                       20051104
РΤ
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
              VN, YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
              IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
              CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
              GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
     AU 2005301626
                                  20060511
                                               AU 2005-301626
                           A 1
                                                                        20051104
     CA 2587023
                                  20060511
                                               CA 2005-2587023
                           Α1
                                                                        20051104
     EP 1816128
                           Α1
                                  20070808
                                               EP 2005-800453
                                                                        20051104
            AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
              IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
     CN 101068797
                           Α
                                  20071107
                                              CN 2005-80037890
                                                                        20051104
     BR 2005017065
                           Α
                                  20080930
                                               BR 2005-17065
                                                                        20051104
     KR 2007085687
                                  20070827
                                               KR 2007-712516
                                                                        20070601
                           Α
     IN 2007CN02394
                                  20070907
                                               IN 2007-CN2394
                                                                        20070604
                           Α
     US 20080167307
                                  20080710
                                               US 2007-667006
                           Α1
                                                                        20071115
PRAI JP 2004-321347
                           Α
                                  20041104
     WO 2005-JP20262
                          W
                                  20051104
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS
     MARPAT 144:468151
     Title compds. I [R1, R2 = H, alkyl; R1 and R2 may combine to form
AB
     cycloalkyl; R3 = H, alkyl; R4 = H, alkyl, aryl; n = 1-5; Y = -0-, -S-,
     -NR5-, etc; R5 = H, alkyl, cycloalylalkyl, etc.; Z = cycloalkyl, aryl,
     arylalkyl, etc.] and their pharmaceutically acceptable salts were prepared
     For example, DIAD mediated alkylation of
     2-[[4-(2-hydroxyethyl)-1,3-thiazol-2-yl]thio]-2-methylpropionic acid
     tert-Bu ester, e.g., prepared from 4-chloro-3-oxobutanoic acid Et ester in 4
     steps, with 4'-fluorobiphenyl-4-ol followed by treatment with
     trifluoroacetic acid afforded compound II. In PPAR\alpha transcription
     activation assays, the EC50 value of compound II was 10.4 nmol/L. Compds. I
     are claimed useful for the treatment of hyperlipidemia, arteriosclerosis,
     etc.
     886529-08-4P
                       886529-10-8P
ΙT
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
```

(Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of carboxylic acid derivs. containing thiazole moiety as PPAR α agonists for treatment of hyperlipidemia and arteriosclerosis)

RN 886529-08-4 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 886529-10-8 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[methyl[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, 1,1-dimethylethyl ester (CA INDEX NAME)

$$\mathsf{t}\text{-BuO-C-C-S} \overset{\mathsf{N}}{\underset{\mathsf{Me}}{\bigvee}} \mathsf{CH}_2 - \mathsf{CH}_2 - \mathsf{N} \overset{\mathsf{Me}}{\underset{\mathsf{N}}{\bigvee}} \mathsf{O}\text{-CF}_3$$

 IT
 886529-09-5P
 886529-11-9P
 886529-18-6P

 886529-19-7P
 886529-20-0P
 886529-21-1P

 886529-44-8P
 886529-45-9P
 886529-63-1P

 886529-64-2P
 886530-11-6P
 886535-99-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carboxylic acid derivs. containing thiazole moiety as PPAR α agonists for treatment of hyperlipidemia and arteriosclerosis)

RN 886529-09-5 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]- (CA INDEX NAME)

RN 886529-11-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[methyl[5-[4-(trifluoromethoxy)phenyl]-2-

pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]- (CA INDEX NAME)

RN 886529-18-6 CAPLUS

CN Propanoic acid, 2-[[4-[2-[ethyl[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-2-methyl- (CA INDEX NAME)

RN 886529-19-7 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[(1-methylethyl)]5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-(CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{i-Pr} \\ \text{HO}_2\text{C}-\text{C}-\text{S} & \text{N} \\ \text{Me} & \text{S} & \text{CH}_2-\text{CH}_2-\text{N} \\ \end{array}$$

RN 886529-20-0 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[4-(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & & \\ \text{HO}_2\text{C}-\text{C}-\text{S} & & \\ \text{Me} & & \\ \text{S} & & \\ \end{array}$$

RN 886529-21-1 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[3-(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \\ \text{HO}_2\text{C}-\text{C}-\text{S} & \\ \text{Me} & \text{S} & \\ \end{array}$$

RN 886529-44-8 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[[5-[3-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 886529-45-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[methyl[5-[3-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:?) (CA INDEX NAME)

•x HCl

RN 886529-63-1 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-methyl-5-[2-[[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:?) (CA INDEX NAME)

Me HO₂C - C - S N Me Me S CH₂ CH₂ NH
$$^{\rm NN}$$
 $^{\rm NN}$ $^{\rm NN}$

RN 886529-64-2 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-methyl-5-[2-[methyl[5-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:?) (CA INDEX NAME)

Me HO2C-C-S N Me Me S CH2 CH2 N-Me
$$\mathbb{R}^N$$
 \mathbb{R}^N $\mathbb{$

RN 886530-11-6 CAPLUS

 pyrimidinyl]amino]ethyl]-2-thiazolyl]thio]-, hydrochloride (1:1) (CA INDEX NAME)

●x HCl

RN 886535-99-5 CAPLUS

CN Acetic acid, 2-[[4-[2-[[5-[4-(trifluoromethoxy)pheny1]-2-pyrimidiny1]amino]ethy1]-2-thiazoly1]thio]- (CA INDEX NAME)

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 10 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
T. 4
     2006:340006 CAPLUS
ΑN
     144:390933
DN
     Preparation of anilinopyrimidines as IKK kinase inhibitors
ΤI
ΙN
     Sum, Fuk-Wah; Powell, Dennis William; Zhang, Yixian; Chen, Lijing;
     Kincaid, Scott Lee; Jennings, Lee Dalton; Hu, Yongbo; Gilbert, Adam
     Matthew; Bursavich, Matthew Gregory
     Wyeth, John, and Brother Ltd., USA
PA
     U.S. Pat. Appl. Publ., 55 pp.
SO
     CODEN: USXXCO
DT
     Patent
LA
     English
FAN.CNT 1
                                    DATE
                                                  APPLICATION NO.
     PATENT NO.
                            KIND
                                                                            DATE
                                                  _____
                            ____
                                                                            _____
     US 20060079543
                                    20060413
                                                  US 2005-248495
                                                                            20051013
PΙ
                             Α1
     AU 2005295788
                                                  AU 2005-295788
                             Α1
                                    20060427
                                                                            20051013
     CA 2580913
                                    2006042m
                                                  CA 2005-2580913
                                                                            20051013
                             Α1
     WO 2006044457
                             Α1
                                    20060427
                                                  WO 2005-US36674
                                                                            20051013
              AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
              CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,
              YU, ZA, ZM, ZW
          RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
              IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
              GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
              KG, KZ, MD, RU, TJ, TM
                                    20070627
                                                 EP 2005-812654
     EP 1799652
                             Α1
                                                                            20051013
             AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
               IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
     CN 101039919
                             Α
                                    20070919
                                                 CN 2005-80034935
                                                                            20051013
     JP 2008515986
                             Τ
                                    20080515
                                                  JP 2007-536838
                                                                            20051013
     BR 2005016597
                                    20080916
                                                  BR 2005-16597
                                                                            20051013
                             Α
                                    20070601
                                                  NO 2007-1642
     NO 2007001642
                             Α
                                                                            20070328
                                                  IN 2007-DN2696
     IN 2007DN02696
                             Α
                                    20070817
                                                                            20070411
     MX 2007004488
                             Α
                                    20070911
                                                  MX 2007-4488
                                                                            20070413
     KR 2007084067
                             Α
                                    20070824
                                                  KR 2007-710445
                                                                            20070508
PRAI US 2004-617668P
                             Ρ
                                    20041013
     WO 2005-US36674
                                    20051013
                             W
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
     CASREACT 144:390933; MARPAT 144:390933
OS
     Title compds. I [wherein R1, R4 = H; R2 = (un)substituted amino,
AΒ
     guanidinyl, ureido, etc.; R3 = H, (un)substituted Ph, certain heteroaryl,
     etc.; R5 = H, alkyl, alkylsulfonyl, etc.; R6 = H, halo, (un)substituted
     Ph, etc.] and salts, solvates or hydrates thereof were prepared as kinase
     inhibitors, especially IKK kinase inhibitors. For instance, condensation of
     2-acetyl-5-chlorothiophene with DMF di-Me acetal followed by cyclization
     with a guanidine, which was obtained by treatment of sulfanilamide with
     1H-pyrazole-1-carboximidamide hydrochloride, gave 2-pyrimidinamine II.
     Exemplary I gave a pos. or slightly pos. result in the western anal. of
     {\tt IKK}\alpha. Therefore, I and their pharmaceutical compns. are useful for
     the treatment of diseases associated with NF-\kappaB activation, such as
```

inflammation, tumor and ischemic conditions.

IT 882874-45-5P 882874-49-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of anilinopyrimidines as IKK kinase inhibitors)

RN 882874-45-5 CAPLUS

CN Benzenesulfonamide, N-[3-(4-morpholinyl)propyl]-4-[[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 882874-49-9 CAPLUS

CN Benzenesulfonamide, N-[2-(4-morpholinyl)ethyl]-4-[[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

T.4

```
ANSWER 11 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
     2005:1170507 CAPLUS
ΑN
     143:440431
DN
     Substituted thiazole and pyrimidine derivatives as melanocortin receptor
ΤI
IN
     Mjalli, Adnan M. M.; Gaddam, Bapu R.; Qabaja, Ghassan; Subramanian,
     Govindan; Zhu, Jeff; Dankwardt, John; Arimilli, Murty N.; Andrews, Robert
     C.; Victory, Samuel; Tian, Ye E.
     Transtech Pharma, Inc., USA
PA
     PCT Int. Appl., 179 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
                            KIND
                                    DATE
                                                APPLICATION NO.
     PATENT NO.
                                                                           DATE
                                                 _____
                            ____
     WO 2005103022
                                   20051103
                                                WO 2005-US13386
                                                                           20050420
PΙ
                             Α1
          W: AE, AG, AL, AM, AR, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
              ZM, ZW
          RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
              AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
              EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
              RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
              MR, NE, SN, TD, TG
     AU 2005236055
                                                 AU 2005-236055
                            Α1
                                    20051103
                                                                           20050420
                                    20051103
     CA 2562075
                             Α1
                                                 CA 2005-2562075
                                                                           20050420
     US 20050261294
                             Α1
                                    20051124
                                                 US 2005-110499
                                                                           20050420
     EP 1753735
                                    20070221
                                                 EP 2005-757033
                                                                           20050420
                             Α1
             AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
              IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
              HR, LV, MK, YU
     CN 1946703
                                    20070411
                                                 CN 2005-80012513
                                                                           20050420
                             Α
     BR 2005010095
                                    20071016
                                                 BR 2005-10095
                             Α
                                                                           20050420
     JP 2007533752
                             Τ
                                    20071122
                                                 JP 2007-509585
                                                                           20050420
     ZA 2006008225
                                    20080130
                                                 ZA 2006-8225
                                                                           20050420
                            Α
     MX 2006012130
                             Α
                                    20070131
                                                 MX 2006-12130
                                                                           20061020
     IN 2006KN03399
                             Α
                                    20070615
                                                 IN 2006-KN3399
                                                                           20061116
PRAI US 2004-563882P
                             Ρ
                                    20040420
     WO 2005-US13386
                             W
                                    20050420
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
     CASREACT 143:440431; MARPAT 143:440431
OS
     Title compds. I [A = substituted amine, substituted alkyl, substituted
AΒ
     sulfonamide, etc.; m = 0-2; R1 and R2 independently = H, halo, alkyl,
     etc., or R1 and R2 may be taken together to form part of a fused
     carbocyclic ring, aromatic ring, heteroarom. ring, etc.; W = S, N=N, or
     CR3=N; R3 = H, halo, alkyl, etc.], methods of their preparation, pharmaceutical
     compns. comprising the compds. of Formula (I), and methods of use in
     treating human or animal disorders are disclosed. Thus, e.g., II was
     prepared by cyclocondensation of 2-bromo-1(4-isopropylphenyl)ethanone
     (preparation given) with thiourea followed by reaction with
     chlorosulfonyl-acetic acid tert-Bu ester (preparation given). I showed an
     increase in cAMP production and a reduction in fluorescence polarization in
assays
```

10/577,047

and possess an effective concentration for half maximal effect (EC50) in the assay of less than 14 $\mu M.$ The compds. of the invention can be useful as inhibitors of action of AgRP on a melanocortin receptor and thus can be useful for the management, treatment, control, or the adjunct treatment of diseases which may be responsive to the modulation of melanocortin receptors including obesity-related disorders.

IT 868590-78-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazole and pyrimidine derivs. as melanocortin receptor modulators)

RN 868590-78-7 CAPLUS

CN Benzoic acid, 2-[[(2-thienylmethyl)[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 12 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
L4
           2005:1075803 CAPLUS
ΑN
           143:367317
DN
           Preparation of N-(2-amino and 2-hydroxy)phenyl carboxamides as inhibitors
ΤI
           of histone deacetylase
ΙN
           Delorme, Daniel; Vaisburg, Arkadii; Moradei, Oscar; Leit, Silvana;
           Raeppel, Stephane; Frechette, Sylvie; Bouchain, Giliane; Zhou, Zhihong;
           Paquin, Isabelle; Gaudette, Frederic; Isakovic, Ljubomir
           Methylgene Inc., Can.
PA
           PCT Int. Appl., 245 pp.
SO
           CODEN: PIXXD2
DT
           Patent
           English
LA
FAN.CNT 1
                                                                     DATE ....
                                                     KIND
                                                                                            APPLICATION NO.
           PATENT NO.
                                                                                                                                               DATE
                                                                                              ______
                                                      ___
                                                                                            WO 2005-CA454
           WO 2005092899
                                                                     20051006
                                                                                                                                              20050329
PΙ
                                                        A1 (
                  W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML,
                            RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
                            MR, NE, SN, TD, TG
           US 20050245518
                                                                     20051103
                                                                                               US 2005-90713
                                                                                                                                                 20050325
                                                       Α1
                                                                     20070807
           US 7253204
                                                       В2
           AU 2005225471
                                                       Α1
                                                                     20051006
                                                                                               AU 2005-225471
                                                                                                                                                 20050329
           CA 2559733
                                                       Α1
                                                                     20051006
                                                                                               CA 2005-2559733
                                                                                                                                                 20050329
           EP 1735319
                                                                     20061227
                                                                                               EP 2005-714678
                                                                                                                                                 20050329
                                                       Α1
                   R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
                            IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
                            HR, LV, MK, YU
           CN 1997649
                                                                     20070711
                                                                                               CN 2005-80016112
                                                                                                                                                 20050329
                                                       Α
           BR 2005009214
                                                                     20070904
                                                                                               BR 2005-9214
                                                        Α
                                                                                                                                                 20050329
           JP 2007530459
                                                        Τ
                                                                    20071101
                                                                                               JP 2007-504228
                                                                                                                                                 20050329
          MX 2006010900
                                                       Α
                                                                    20070221
                                                                                               MX 2006-10900
                                                                                                                                                 20060922
           IN 2006KN03069
                                                       Α
                                                                   20070608
                                                                                              IN 2006-KN3069
                                                                                                                                                 20061023
           KR 2007022687
                                                      Α
                                                                  20070227
                                                                                             KR 2006-722299
                                                                                                                                                 20061026
           US 20070213330
                                                     A1
                                                                   20070913
                                                                                               US 2007-687398
                                                                                                                                                 20070316
PRAI US 2004-556828P
                                                     P
                                                                    20040326
           US 2005-90713
                                                       Α
                                                                     20050325
           WO 2005-IB802
                                                                     20050325
                                                       Α
           US 2004-90713
                                                        Α
                                                                     20040325
           WO 2005-CA454
                                                       W
                                                                     20050329
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
           CASREACT 143:367317; MARPAT 143:367317
OS
AΒ
           The invention relates to N-(2-amino and 2-hydroxy) phenyl carboxamides
           (2-TC6H4NHC(O)(CH:CH)qAr-X-Cy (I); variables defined below; e.g.
           (E) - N - (2 - Aminophenyl) - 3 - [4 - [(2 - hydroxyethyl)] - (1 - indol - 3 - indol - indol - 3 - i
           yl)ethyl]amino]methyl]phenyl]acrylamide (shown as II)) useful for
           inhibiting histone deacetylase (HDAC) enzymic activity. The invention
           also provides a method for inhibiting histone deacetylase in a cell using
           said compds. as well as a method for treating cell proliferative diseases
```

10/577,047

and conditions using said HDAC inhibitors. Further, the invention provides pharmaceutical compns. comprising the HDAC inhibiting compds. and a pharmaceutically acceptable carrier. For I: Cy is aryl, heteroaryl, cycloalkyl, or heterocyclyl, each of which is (un)substituted and each of which is optionally fused to ≥1 aryl or heteroaryl rings, or to ≥1 saturated or partially unsatd. cycloalkyl or heterocyclic rings, each of which rings is (un)substituted; X = a chemical bond, L, W-L, L-W, and L-W-L, wherein W, at each occurrence, is S, O, C:O, or N(R9), where R9 = H, alkyl, hydroxyalkyl, and tert-butoxycarbonyl; and L = C1-C4 alkylene; Ar is arylene or heteroarylene, each of which is (un)substituted; q = 0-1; and T is NH2 or OH, provided that when Cy is naphthyl, X is -CH2-, Ar is Ph, and q = 0-1, T is not OH. Although the methods of preparation are not claimed, 215 example prepns. and/or characterization data are included. For example, II was prepared in 6 steps (59, 83, 97, 79, 96 and 80 % yields) starting from (E)-4-formylcinnamic acid and involving intermediates Me (E)-3-(4-formylphenyl) acrylate, Me (E)-3-[4-[[2-(1H-indol-3-yl)ethyl]amino]methyl]phenyl]acrylate, Me(E)-3-[4-[[2-(tert-butyldimethylsilanyl)oxy]ethyl][2-(1H-indol-3-)]yl)ethyl]amino]methyl]phenyl]acrylate, (E) -3 - [4 - [[2 - [(tert-butyldimethylsilanyl)oxy]ethyl]] 2 - (1H-indol-3yl)ethyl]amino]methyl]phenyl]acrylic acid and (E)-N-(2-aminopheny1)-3-[4-[[[2-[(tert-butyldimethylsilany1)oxy]ethy1][2-[(t(1H-indol-3-yl)ethyl]amino]methyl]phenyl]acrylamide. 866000-05-7P, N-(2-Aminophenyl)-4-[[[4-(3trifluoromethoxyphenyl)pyrimidin-2-yl]amino]methyl]benzamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of N-(2-amino and 2-hydroxy) phenyl carboxamides as inhibitors of histone deacetylase) 866000-05-7 CAPLUS

pyrimidinyl]amino]methyl]- (CA INDEX NAME)

ΙT

RN CN

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

Benzamide, N-(2-aminophenyl)-4-[[[4-[3-(trifluoromethoxy)phenyl]-2-

```
ANSWER 13 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
L4
     2005:395285 CAPLUS
ΑN
     142:430294
DN
     Preparation of pyrimidine compounds as antistress agents
TI
IN
     Ohmoto, Kazuyuki; Kato, Masashi; Katsumata, Seishi; Manako, Junichiro
PA
     Ono Pharmaceutical Co., Ltd., Japan
SO
     PCT Int. Appl., 133 pp.
                                                    Applicant's
     CODEN: PIXXD2
DT
     Patent
LA
     Japanese
FAN.CNT 1
     PATENT NO.
                          KIND
                                  DATE
                                              APPLICATION NO.
                                                                        DATE
                          ----
     WO 2005040135
                          A1 20050506 WO 2004-JP16056
                                                                        20041022
PΙ
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
              CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
              GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
              LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
              NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
         TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
              SN, TD, TG
                                  20060712
     EP 1679309
                            Α1
                                               EP 2004-793164
                                                                        20041022
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, FI, RO, CY, TR, BG, CZ
     US 20070099938 A1 20070503 US 2006-577047
                                                                         20060901
PRAI JP 2003-365237
                            Α
                                   20031024
     WO 2004-JP16056
                                   20041022
                            W
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
     MARPAT 142:430294
OS
AΒ
     Title compds. I [ring A = (un) substituted cyclic group; Q =
     (un) substituted alkyl; (un) substituted cyclic group; ring D =
     (un) substituted cyclic group; W = bond, spacer with a principal chain of 1
     to 4 atoms; Y = spacer with a principal chain of 1 to 4 atoms] were prepared
     For example, benzyloxyacetylation of 4-phenyl-2-aminopyrimidine, e.g.,
     prepared from acetophenone in 2 steps, afforded compound II. In MBR
     (mitochondrial benzodiazepine receptor) binding assays, the Ki value of
     compound III was 0.01 \mumol/L. Compoounds I are claimed useful for the
     treatment of depression, asthma etc. Formulations are given.
     850924-82-2P
                        850924-86-6P
                                          850925-10-9P
ΤT
     850925-22-3P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
         (preparation of pyrimidine compds. for treatment of depression, asthma etc.)
RN
     850924-82-2 CAPLUS
CN
     Methanesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-
     (CA INDEX NAME)
```

RN 850924-86-6 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]- (CA INDEX NAME)

RN 850925-10-9 CAPLUS

CN Acetamide, N-[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]- (CA INDEX NAME)

RN 850925-22-3 CAPLUS

CN Acetamide, N-[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]-N-ethyl- (CA INDEX NAME)

IT 850924-46-8P 850924-47-9P 850924-51-5P

850924-77-5P 850924-79-7P 850924-80-0P 850924-81-1P 850924-83-3P 850924-84-4P 850924-87-7P 850924-85-5P 850924-88-8P 850925-04-1P 850925-06-3P 850925-07-4P 850925-08-5P 850925-09-6P 850925-11-0P 850925-14-3P 850925-15-4P 850925-16-5P 850925-17-6P 850925-18-7P 850925-19-8P 850925-20-1P 850925-21-2P 850925-24-5P 850925-25-6P 850925-27-8P 850925-29-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyrimidine compds. for treatment of depression, asthma etc.) RN 850924-46-8 CAPLUS CN 2-Thiophenecarboxamide, N-[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]-(CA INDEX NAME)

RN 850924-47-9 CAPLUS
CN Benzamide, N-[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]- (CA INDEX NAME)

RN 850924-51-5 CAPLUS
CN 2-Thiophenecarboxamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2 pyrimidinyl]- (CA INDEX NAME)

RN 850924-77-5 CAPLUS CN Acetamide, 2-phenoxy-N-[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]-

(CA INDEX NAME)

RN 850924-79-7 CAPLUS

CN 2-Thiophenecarboxamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-5-chloro- (CA INDEX NAME)

RN 850924-80-0 CAPLUS

CN Urea, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-N'-phenyl- (CA INDEX NAME)

RN 850924-81-1 CAPLUS

CN Urea, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-N'-(1-methylethyl)- (CA INDEX NAME)

RN 850924-83-3 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-(CA INDEX NAME)

RN 850924-84-4 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-4-methyl- (CA INDEX NAME)

RN 850924-85-5 CAPLUS

CN Benzenemethanesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]- (CA INDEX NAME)

RN 850924-87-7 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]-4-methyl- (CA INDEX NAME)

RN 850924-88-8 CAPLUS

CN Methanesulfonamide, N-[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]- (CA INDEX NAME)

RN 850925-04-1 CAPLUS

CN Benzenemethanesulfonamide, N-[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]- (CA INDEX NAME)

RN 850925-06-3 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-3-methyl- (CA INDEX NAME)

RN 850925-07-4 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-3,5-dimethyl- (CA INDEX NAME)

RN 850925-08-5 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-3,5-dichloro- (CA INDEX NAME)

RN 850925-09-6 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)pheny1]-2-pyrimidiny1]-4-(methylsulfony1)- (CA INDEX NAME)

RN 850925-11-0 CAPLUS

CN Methanesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-N-(phenylmethyl)- (CA INDEX NAME)

RN 850925-14-3 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)pheny1]-2-pyrimidiny1]-N-ethyl- (CA INDEX NAME)

RN 850925-15-4 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-N-propyl- (CA INDEX NAME)

RN 850925-16-5 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)phenyl]-2-pyrimidinyl]-N-(2-methylpropyl)- (CA INDEX NAME)

RN 850925-17-6 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)pheny1]-2-pyrimidiny1]-N-(2-methoxyethy1)- (CA INDEX NAME)

- RN 850925-18-7 CAPLUS
- CN 2-Pyrimidinamine, 4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-N-ethyl- (CA INDEX NAME)

- RN 850925-19-8 CAPLUS
- CN Benzenesulfonamide, N-[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]-N-methyl- (CA INDEX NAME)

- RN 850925-20-1 CAPLUS
- CN Benzenesulfonamide, N-[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]-N,4-dimethyl- (CA INDEX NAME)

RN 850925-21-2 CAPLUS

CN Benzenesulfonamide, N-[4-[2,5-bis(difluoromethoxy)pheny1]-2-pyrimidiny1]-N-methyl- (CA INDEX NAME)

RN 850925-24-5 CAPLUS

CN 2-Pyrimidinamine, 4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-N-methyl-N-(phenylmethyl)- (CA INDEX NAME)

RN 850925-25-6 CAPLUS

CN 2-Pyrimidinamine, 4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-N-(phenylmethyl)- (CA INDEX NAME)

RN 850925-27-8 CAPLUS

CN 2-Pyrimidinamine, 4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-N,N-diethyl-(CA INDEX NAME)

RN 850925-29-0 CAPLUS

CN 1-Pentanol, 5-[[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

IT 850925-34-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine compds. for treatment of depression, asthma etc.)

RN 850925-34-7 CAPLUS

CN Pentanamide, N-[4-[2,5-bis(2,2,2-trifluoroethoxy)phenyl]-2-pyrimidinyl]-5-bromo- (CA INDEX NAME)

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
RE.CNT 116 THERE ARE 116 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2005:123194 CAPLUS
- DN 142:219265
- TI Preparation of novel spiro compounds as neuropeptide Y antagonists
- IN Fukami, Takehiro; Kanatani, Akio; Ishihara, Akane; Ishii, Yasuyuki; Takahashi, Toshiyuki; Haga, Yuji; Sakamoto, Toshihiro; Itoh, Takahiro; Chiba, Masato
- PA Banyu Pharmaceutical Co., Ltd., Japan
- SO U.S. Pat. Appl. Publ., 32 pp., Cont.-in-part of Appl. No. PCT/JP03/02611. CODEN: USXXCO
- DT Patent
- LA English

FAN CNT 3

ran.	PATENT NO.					KIND		DATE			APPLICATION NO.					DATE		
PI						A1 B2		20050210 20071204			US 2004-922869					20040823		
	US	20020188124				A1	A1 20021212				US 2002-92549					20020308		
		6803372 2003076443				B2					WO 2003-JP2611					20030305		
	_	2003076443									WO 2003-052011					20030303		
		W:						AZ,		BB,	BR,	BY,	BZ,	CA,	CN,	CO,	CR,	CU,
			DM,	DZ,	EC,	GD,	GH,	HR,	ID,	IL,	IN,	IS,	JP,	KG,	KR,	KΖ,	LC,	LK,
			,	•	•	•	,	MG,		•		,	•	•	•	PL,	RO,	RU,
		DIJ.						TT,								70 10 47	7) [7	DV
		KW:	•	•	•	•	,	MZ, TM,		•		•	•	•	•	•	•	
			•	•	•	•	•	IE,	•		•	•	•	•	•	•	•	•
								CM,										
PRAI																		
	_						20030305											
		1999-233573					19990820											
	_				A		20000510 20000818											
					A3													
	US	S 2001-983598				AZ		2001	1072									

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 142:219265; MARPAT 142:219265

AΒ Spiro compds. represented by the general formula (I) (wherein Ar1, Ar2 = each (un)substituted aryl or heteroaryl; n = 0 or 1; T, U, V, W = each independently N atom or CH group which may have a substituent selected from the group consisting of halogen, lower alkyl, hydroxy, and lower alkoxy, wherein at least two of which represent said methine group; X = hydroxy substituted methine or nitrogen atom; Y = an imino which may be substituted with lower alkyl, or oxygen), salts, esters, or N-oxide derivs. thereof are prepared These compds. exhibit neuropeptide Y (NPY) antagonistic activities and are useful as agents for the treatment of various diseases related to NPY, for example, (1) cardiovascular disorders such as hypertension, nephropathy, heart disease, vasospasm, and arteriosclerosis, (2) central nervous system disorders such as bulimia, depression, anxiety, seizure, epilepsy, dementia, pain, alcoholism, and drug withdrawal, (3) metabolic diseases such as obesity, diabetes, hormone abnormality, hypercholesterolemia, and hyperlipidemia, (4) sexual and reproductive dysfunction, gastro-intestinal disorder, respiratory disorder, inflammation or glaucoma. Thus,

1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (400 mg) was added to a mixture of trans-3-oxospiro(6-azaisobenzofuran-1(3H),1'-cyclohexane)-4'-carboxylic acid (436 mg) and

3-amino-1-(4-benzyloxy-2-fluorophenyl)pyrazole (500 mg) in pyridine (10 mL), and the mixture was stirred overnight to give, after workup, 783.7 mg trans-N-[1-(4-benzyloxy-2-fluorophenyl)-3-pyrazolyl]-3-oxospiro(6-azaisobenzofuran-1(3H),1'-cyclohexane)-4'-carboxamide (II). A mixture of 783.7 mg II and 100 mg 10% Pd-C in THF was stirred under hydrogen atmospheric

room temperature for 24 h to give, after silica gel chromatog. and recrystn. from EtOAc, 531.7 mg trans-N-[1-(2-fluoro-4-hydroxyphenyl)-3-pyrazolyl]-3-oxospiro(6-azaisobenzofuran-1(3H),1'-cyclohexane)-4'-carboxamide (III). III in vitro inhibited the binding of [125I]peptide YY to a membrane sample prepared from cells which expressed human neuropeptide Y Y5 receptor with IC50 of 3.0 nM.

IT 478013-33-1P 478013-34-2P 478013-35-3P
478013-60-4P 478013-61-5P 478013-62-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of novel spiro compds. as neuropeptide Y antagonists for treating cardiovascular disorders, central nervous system disorders,

and metabolic diseases, etc.) RN 478013-33-1 CAPLUS

CN Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-4-hydroxy-3'-oxo-, $(1\alpha,4\alpha)$ - (CA INDEX NAME)

Relative stereochemistry.

at

RN 478013-34-2 CAPLUS

CN Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-3'-oxo-, 5'-oxide, $(1\alpha,4\beta)$ - (CA INDEX NAME)

RN 478013-35-3 CAPLUS

CN Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-4-hydroxy-3'-oxo-, 5'-oxide, $(1\alpha,4\alpha)$ - (CA INDEX NAME)

Relative stereochemistry.

RN 478013-60-4 CAPLUS

CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-4-hydroxy-1'-oxo-, $(1\alpha,4\alpha)$ - (CA INDEX NAME)

RN 478013-61-5 CAPLUS

CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-1'-oxo-, 5'-oxide, $(1\alpha,4\beta)$ - (CA INDEX NAME)

Relative stereochemistry.

RN 478013-62-6 CAPLUS

CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-4-hydroxy-1'-oxo-, 5'-oxide, $(1\alpha,4\alpha)$ - (CA INDEX NAME)

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 15 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
T.4
      2005:14148 CAPLUS
ΑN
DN
      142:107413
      Combination therapy for the treatment of dyslipidemia
ΤI
IN
      Erondu, Ngozi E.; Fong, Tung M.; MacNeil, Douglas J.; Van Der Ploeg,
      Leonardus H. T.
PA
      Merck & Co., Inc., USA
                                                     same as #17
      PCT Int. Appl., 106 pp.
SO
      CODEN: PIXXD2
DT
      Patent
      English
LA
FAN.CNT 1
                                                     APPLICATION NO.
      PATENT NO.
                             KIND DATE
                                                                                  DATE
                              ____
                                        _____
                                                       _____
      WO 2005000217
                              A2
                                        20050106
                                                      WO 2004-US17120
                                                                                   20040602
PΙ
                              А3
                                    20050407
      WO 2005000217
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
                CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
           CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                SN, TD, TG
                                       20060322
                                                                                    20040602
      EP 1635813
                                                     EP 2004-753858
                                Α2
           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
                                                      US 2005-555194
      US 20060148721
                            A1 20060706
                                                                                    20051101
                                Ρ
PRAI US 2003-476387P
                                        20030606
      WO 2004-US17120
                                W
                                        20040602
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
      MARPAT 142:107413
      The invention relates to compns. comprising an anti-obesity agent and an
AΒ
      anti-dyslipidemic agent useful for the treatment of dyslipidemia,
      dyslipidemia associated with obesity and dyslipidemia-related disorders.
      invention further relates to methods of treating or preventing obesity,
      and obesity-related disorders, in a subject in need thereof by
      administering a composition of the present invention. The invention further
      provides pharmaceutical compns., medicaments, and kits useful in carrying
      out these methods.
      328232-69-5
ΙT
                         328232-78-6
      RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
      (Biological study); USES (Uses)
          (combination therapy for treatment of dyslipidemia)
      328232-69-5 CAPLUS
RN
CN
      Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide,
      N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-3'-oxo-, (1\alpha, 4\beta)-
         (CA INDEX NAME)
```

RN 328232-78-6 CAPLUS

CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-1'-oxo-, $(1\alpha,4\beta)$ - (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 16 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
T.4
      2004:1124587 CAPLUS
ΑN
      142:69188
DN
      Combination therapy for the treatment of diabetes
TI
IN
      Erondu, Ngozi E.; Fong, Tung M.; MacNeil, Douglas J.; Van Der Ploeg,
      Leonardus H. T.; Kanatani, Akio
      Merck & Co., Inc., USA; Banyu Pharmaceutical Co., Ltd.
PA
      PCT Int. Appl., 109 pp.
SO
                                                       same as #17
      CODEN: PIXXD2
DT
      Patent
      English
LA
FAN.CNT 1
                                                    APPLICATION NO.
      PATENT NO.
                             KIND DATE
                                                                                 DATE
                             ____
                                       _____
                                                     _____
      WO 2004110375
                              A2
                                       20041223
                                                    WO 2004-US17291
                                                                                 20040602
PΙ
                              A3 20050512
      WO 2004110375
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
                CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
          CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                SN, TD, TG
                                      20060322
                                                                                  20040602
      EP 1635832
                                                   EP 2004-753999
                               Α2
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
                                                    US 2005-559206
      US 20070099884
                           A1 20070503
                                                                                  20051202
                               P
PRAI US 2003-476388P
                                       20030606
      WO 2004-US17291
                               W
                                       20040602
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
      MARPAT 142:69188
      The present invention relates to compns. comprising an anti-obesity agent
AΒ
      and an anti-diabetic agent useful for the treatment of diabetes, diabetes
      associated with obesity and diabetes-related disorders. The present
      invention further relates to methods of treating or preventing obesity,
      and obesity-related disorders, in a subject in need thereof by
      administering a composition of the present invention. The present invention
      further provides for pharmaceutical compns., medicaments, and kits useful
      in carrying out these methods.
                      328232-78-6
ΙT
      328232-69-5
      RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
      (Biological study); USES (Uses)
          (neuropeptide Y Y5 receptor antagonist; combination therapy of diabetes
          and diabetes-related disorders using antiobesity agent and antidiabetic
          agent and other agents)
      328232-69-5 CAPLUS
RN
      Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide,
CN
      N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-3'-oxo-, (1\alpha, 4\beta)-
         (CA INDEX NAME)
```

RN 328232-78-6 CAPLUS

CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-1'-oxo-, $(1\alpha,4\beta)$ - (CA INDEX NAME)

Relative stereochemistry.

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 17 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
T.4
      2004:1124581 CAPLUS
ΑN
DN
      142:69181
      Combination therapy for the treatment of hypertension
ΤI
ΙN
      Fong, Tung M.; Erondu, Ngozi E.; Macneil, Douglas J.; Mcintyre, James H.;
      Van Der Ploeg, Leonardus H. T.
PA
      Merck & Co., Inc., USA
      PCT Int. Appl., 99 pp.
SO
      CODEN: PIXXD2
                                                   same as # 19
DT
      Patent
      English
LA
FAN.CNT 1
                                                     APPLICATION NO.
      PATENT NO.
                             KIND
                                       DATE
                                                                                 DATE
                              ____
                                       _____
                                                      _____
      WO 2004110368
                              A2
                                       20041223
                                                     WO 2004-US17090
                                                                                  20040602
PΙ
                              A3
      WO 2004110368
                                       20060720
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
                CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
          CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                SN, TD, TG
                                       20060322
                                                                                   20040602
      EP 1635773
                                                     EP 2004-753832
                                Α2
           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
                                                     US 2005-559111
                                                                                   20051202
      US 20060160834
                              A1 20060720
                                P
PRAI US 2003-476390P
                                       20030606
      WO 2004-US17090
                                W
                                       20040602
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
      MARPAT 142:69181
      The present invention relates to compns. comprising an anti-obesity agent
AΒ
      and an anti-hypertensive agent useful for the treatment of hypertension,
      hypertension associated with obesity, and hypertension-related disorders.
      The present invention further relates to methods of treating or preventing
      obesity, and obesity-related disorders, in a subject in need thereof by
      administering a composition of the present invention. The present invention
      further provides for pharmaceutical compns., medicaments, and kits useful
      in carrying out these methods.
                       328232-78-6
ΙT
      328232-69-5
      RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
      (Biological study); USES (Uses)
          (neuropeptide Y Y5 receptor antagonist; combination therapy of
          hypertension and hypertension-related disorders using antiobesity agent
          and antihypertensive agent and other agents)
RN
      328232-69-5 CAPLUS
      Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide,
CN
      N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-3'-oxo-, (1\alpha, 4\beta)-
         (CA INDEX NAME)
```

RN 328232-78-6 CAPLUS

CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-1'-oxo-, $(1\alpha,4\beta)$ - (CA INDEX NAME)

Relative stereochemistry.

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 18 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
T. 4
     2004:451634 CAPLUS
ΑN
     141:23544
DN
     Preparation of anilinopyrimidines as JNK pathway inhibitors for treating
ΤI
     or preventing an inflammatory or metabolic condition
IN
     Satoh, Yoshitaka; Bhagwat, Shripad S.
     Signal Pharmaceuticals, LLC, USA
PA
     U.S. Pat. Appl. Publ., 161 pp., Cont.-in-part of U.S. Ser. No. 4,645.
SO
     CODEN: USXXCO
DT
     Patent
     English
LA
FAN.CNT 2
                                            APPLICATION NO.
     PATENT NO.
                        KIND DATE
                                                                    DATE
     _____
                        ____
                                 _____
                                             _____
     US 20040106634
                         A1
                                 20040603
                                            US 2003-395811
                                                                      20030324
PΙ
                         В2
     US 7429599
                                 20080930
     US 20030220330
                         A1
                                             US 2001-4645
                                 20031127
                                                                      20011204
                         В2
     US 7129242
                                 20061031
                         A1
     AU 2004224302
                                20041007
                                            AU 2004-224302
                                                                      20040324
                                             AU 2004-22-331
CA 2004-2520440
                              20041007
20041007
     CA 2520440
                         A1
                                                                      20040324
     WO 2004084901
                          Α1
                                             WO 2004-US9208
                                                                      20040324
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
             SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
             TD, TG
     EP 1608375
                          A1
                                 20051228
                                             EP 2004-758138
                                                                      20040324
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK
     BR 2004008784
                        Α
                                 20060328
                                            BR 2004-8784
                                                                      20040324
     CN 1791410
                                 20060621
                                            CN 2004-80013588
                                                                     20040324
                         Α
     JP 2006521394
                         Τ
                                20060921
                                             JP 2006-509310
                                                                     20040324
                         Α
     ZA 2005007987
                                20071227
                                            ZA 2005-7987
                                                                     20040324
     NZ 543052
                         Α
                                20090131
                                            NZ 2004-543052
                                                                     20040324
PRAI US 2000-251904P
                         P
                                20001206
                         A2
     US 2001-4645
                                20011204
     US 2003-395811
                         A
                                20030324
                     W
     WO 2004-US9208
                                 20040324
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
    MARPAT 141:23544
OS
     The title compds. [I; R1 = (un)substituted (hetero)aryl; R2, R3 = H,
AΒ
     alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 = R8, (CH2)aCOR9, (CH2)aCO2R9, etc.; or NR5R6 = (un)substituted heterocycle; R8, R9 = H, alkyl, aryl,
     etc.; a = 0-4] having activity as inhibitors of the JNK pathway, were
     prepared E.g., a multi-step synthesis of I [R1 = 4-C1C6H4; R2-R6 = H]
     having an IC50 of \leq 10 \mu\text{M} in the JNK2 assay, was given. Such
     compds. I have utility in the treatment of a wide range of conditions that
     are responsive to JNK inhibition (such as obesity).
     434945-02-5P
                      434945-17-2P
                                        434945-32-1P
ΙT
     434945-38-7P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
```

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anilinopyrimidines as JNK pathway inhibitors for treating or preventing an inflammatory or metabolic condition)

RN 434945-02-5 CAPLUS

CN Benzamide, 4-[[4-[4-[(trifluoromethyl)thio]phenyl]-2-pyrimidinyl]amino]-(CA INDEX NAME)

RN 434945-17-2 CAPLUS

CN Benzamide, 4-[[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 434945-32-1 CAPLUS

CN Ethanone, 1-[4-[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]benzoyl]-1-piperazinyl]- (CA INDEX NAME)

RN 434945-38-7 CAPLUS

CN Ethanone, 1-[4-[4-[4-[4-[(trifluoromethyl)thio]phenyl]-2-pyrimidinyl]amino]benzoyl]-1-piperazinyl]- (CA INDEX NAME)

OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

RE.CNT 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 19 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
T. 4
     2004:80448 CAPLUS
ΑN
     140:122817
DN
     NPY5 antagonist-antiobesity agent combination for the prevention and
ΤI
     treatment of diabetes, obesity, and obesity-related disorders
ΙN
     Macneil, Douglas J.; Mcintyre, James H.; Van Der Ploeg, Leonardus H. T.;
     Ishihara, Akane
     Merck & Co., Inc., USA; Banyu Pharmaceutical Co., Ltd.
PA
     PCT Int. Appl., 134 pp.
SO
     CODEN: PIXXD2
DT
     Patent
                                               same as # 20
LA
     English
FAN.CNT 1
                           KIND
                                                APPLICATION NO.
     PATENT NO.
                                    DATE
                                                                           DATE
                           ____
                                    _____
                                                 _____
                                                                           ______
     WO 2004009015
                            A2
                                    20040129
                                                WO 2003-US22077
                                                                           20030714
PΤ
                            А3
     WO 2004009015
                                    20040304
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG,
              PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
              BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2492225
                                                                         20030714
                                    20040129
                                              CA 2003-2492225
                            A 1
     AU 2003253925
                             Α1
                                    20040209
                                                 AU 2003-253925
                                                                           20030714
                                    20050601
                                                EP 2003-765587
     EP 1534074
                             Α2
                                                                           20030714
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2005533849
                            Τ
                                    20051110
                                               JP 2004-523149
                                                                          20030714
     US 20050288213
                            Α1
                                    20051229
                                                 US 2005-520566
                                                                           20050107
                            Ρ
PRAI US 2002-396603P
                                    20020718
     US 2002-417999P
                             Ρ
                                    20021011
     WO 2003-US22077
                             W
                                    20030714
OS
     MARPAT 140:122817
AΒ
     The invention discloses compns. comprising a NPY5 antagonist and an
     antiobesity agent, useful for the treatment and prevention of diabetes,
     obesity, and obesity-related disorders. The invention also discloses
     methods of treating or preventing obesity and obesity-related disorders in
     a subject in need thereof by administering a composition of the invention.
                                                                                           The
     invention further discloses pharmaceutical compns., medicaments, and kits
     useful in carrying out the methods.
                       328232-78-6
     328232-69-5
ΙT
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
         (NPY5 antagonist-antiobesity agent combination for the prevention and
         treatment of diabetes, obesity, and obesity-related disorders)
RN
     328232-69-5 CAPLUS
     Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide,
CN
     N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-3'-oxo-, (1\alpha, 4\beta)-
        (CA INDEX NAME)
```

RN 328232-78-6 CAPLUS Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-1'-oxo-, $(1\alpha,4\beta)$ - (CA INDEX NAME)

Relative stereochemistry.

OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 20 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
L4
     2002:947029 CAPLUS
ΑN
     138:24705
DN
     Preparation of spiroisoindolinepiperidinecarboxamides,
ΤI
     spirocyclohexaneisobenzofurancarboxamides,
     spiroazaisobenzofurancyclohexanecarboxamides, and related compounds as
     neuropeptide Y antagonists.
     Fukami, Takehiro; Kanatani, Akio; Ishihara, Akane; Ishii, Yasuyuki;
IN
     Takahashi, Toshiyuki; Haga, Yuji; Sakamoto, Toshihiro; Itoh, Takahiro
     Banyu Pharmaceutical Co., Ltd., Japan
PA
SO
     U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Pat. Appl. 2002
     52,371.
     CODEN: USXXCO
DT
     Patent
     English
LA
     US 200201001
FAN.CNT 3
                                            APPLICATION NO.
                                                                     DATE
   US 6326375 B1
US 6335345 B1
US 6388077 B2
ZA 2002000734 A
US 6462053 B2
US 20020165391
US 2003005527
JS 6640
                                 _____
                                             _____
                                                                      _____
                                           US 2002-92549
PΙ
                                 20021212
                                                                      20020308
                                             US 2000-640784
US 2001-928431
                                 20041012
                                 20011204
                                                                      20000818
                                 20020101
                                                                      20010814
                                20020502
                                                                      20011025
                                20020514
                                20030128
                                             ZA 2002-734
                                                                      20020128
                         B2 20021008
                                             US 2002-101221
                                                                      20020320
     US 20020165391 A1 20021107
US 20030055251 A1 20030320
US 6649624 B2 20031118
                                             US 2002-226225
                                                                      20020823
                        A 20030409
B2 20040811
                                20030409
     JP 2003104884
                                           JP 2002-271261
                                                                      20020918
     JP 3553560
                         A1 20030918 CA 2003-2482191
     CA 2482191
                                                                      20030305
     WO 2003076443 A1 20030918
WO 2003076443 A9 20050120
                                             WO 2003-JP2611
                                                                      20030305
                         A9 20050120
     WO 2003076443
            AE, AG, AL, AM, AU, AZ, BA, BB, BR, BY, BZ, CA, CN, CO, CR, CU,
             DM, DZ, EC, GD, GH, HR, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK,
             LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, RU,
             SC, SG, TJ, TM, TN, TT, UA, US, UZ, VC, VN, YU, ZA
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                                     20030305
     AU 2003221319
                                20030922 AU 2003-221319
                          A1
     EP 1483266
                                             EP 2003-710252
                           Α1
                                 20041208
                                                                     20030305
     EP 1483266
                                20080227
                          В1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                                 20050707 JP 2003-574660 20030305
     JP 2005519955
                      T
                                             AT 2003-710252
     AT 387452
                          Τ
                                 20080315
                                                                      20030305
                      A1
B2
A1
B2
     US 20030220499
                                             US 2003-453737
                                 20031127
                                                                      20030604
                                20040420
     US 6723847
                              2005021
20071204
19990820
     US 20050032820
                                            US 2004-922869 20040823
     US 7304072
US 7304072 B2 20071204
PRAI JP 1999-233573 A 19990820
JP 2000-137692 A 20000510
US 2000-640784 A3 20000818
US 2001-983598 A2 20011025
```

```
JP 2000-247145
                          Α3
                                20000817
                                20020308
     US 2002-92549
                          Α
     US 2002-101221
                          А3
                                20020320
                          А3
                                20020823
     US 2002-226225
     WO 2003-JP2611
                          W
                                20030305
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
    MARPAT 138:24705
     Title compds. [I; Ar1 = (substituted) aryl, heteroaryl, QAr2; Ar2 =
AB
     (substituted) aryl, heteroaryl; Q = bond, CO; T, U, V, W = N,
     (substituted) CH; X = CH, CH(OH); Y = (substituted) imino, O], were prepared
     Thus, N-tert-butoxycarbonyl-4-piperidone was refluxed 3 h with PhCH2NH2 in
     PhMe to give a residue which was stirred with o-iodobenzoyl chloride and
     Et3N in PhMe at 80° for 2 h to give
     N-benzyl-N-(1-tert-butoxycarbonyl-1,2,3,6-tetrahydropyridin-4-yl)-2-
     iodobenzamide. The latter was heated with Pd(OAc)2, Ph3P, K2CO3, and
     Et4NCl in MeCN at 80° for 6 h to give
     2-benzyl-1'-tert-butoxycarbonyl-1',6'-dihydrospiro[1H-isoindole-1,4'(5'H)-
     pyridine]-3(2H)-one. This was converted to
     N-(4-benzoylphenyl)-3-oxospiro[isoindoline-1,4'-piperidine]-1'-carboxamide
     (II), which inhibited [1251] neuropeptide Y binding to NPY Y5 receptors
     with IC50 = 1.2 nM. II drug formulations are given.
ΙT
     328232-69-5P
                      328232-78-6P
                                       478013-33-1P
     478013-34-2P
                      478013-35-3P
                                       478013-60-4P
                      478013-62-6P
     478013-61-5P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of spiroisoindolinepiperidinecarboxamides,
        spirocyclohexaneisobenzofurancarboxamides,
        spiroazaisobenzofurancyclohexanecarboxamides, and related compds. as
        neuropeptide Y antagonists)
RN
     328232-69-5 CAPLUS
     Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide,
CN
     N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-3'-oxo-, (1\alpha, 4\beta)-
       (CA INDEX NAME)
```

Relative stereochemistry.

RN 328232-78-6 CAPLUS Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-1'-oxo-, $(1\alpha,4\beta)$ - (CA INDEX NAME)

Relative stereochemistry.

RN 478013-33-1 CAPLUS

CN Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-4-hydroxy-3'-oxo-, $(1\alpha,4\alpha)$ - (CA INDEX NAME)

Relative stereochemistry.

RN 478013-34-2 CAPLUS

CN Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-3'-oxo-, 5'-oxide, $(1\alpha,4\beta)$ - (CA INDEX NAME)

RN 478013-35-3 CAPLUS

CN Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-4-hydroxy-3'-oxo-, 5'-oxide, $(1\alpha,4\alpha)$ - (CA INDEX NAME)

Relative stereochemistry.

RN 478013-60-4 CAPLUS

CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-4-hydroxy-1'-oxo-, $(1\alpha,4\alpha)$ - (CA INDEX NAME)

RN 478013-61-5 CAPLUS

CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-1'-oxo-, 5'-oxide, $(1\alpha,4\beta)$ - (CA INDEX NAME)

Relative stereochemistry.

RN 478013-62-6 CAPLUS

CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-4-hydroxy-1'-oxo-, 5'-oxide, $(1\alpha,4\alpha)$ - (CA INDEX NAME)

OSC.G 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (34 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2002:814853 CAPLUS
- DN 137:325431
- ${\sf TI}$ Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
- IN Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manjo; Levine, Barry H.
- PA USA
- SO U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. 6,417,185. CODEN: USXXCO
- DT Patent
- LA English
- FAN.CNT 3

	PAT	ENT NO.	KIND	DATE	API	PLICATION NO.	DATE	
ΡI	US	20020156087	A1	20021024	US	2001-949035	20010906	
	US	7045519	В2	20060516				
	US	6417185	В1	20020709	US	1999-336038	19990618	
	US	20030130289	A1	20030710	US	2002-309535	20021203	
	US	7037918	В2	20060502				
	US	20060089369	A1	20060427	US	2005-220400	20050906	
	US	7425557	B2	20080916				
PRAI	US	1998-89978P	P	19980619				
	US	1999-336038	A2	19990618				
	US	2000-230480P	P	20000906				
	US	1999-336098	A3	19990618				
	US	2001-949035	A3	20010906				

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 137:325431

- AB Title compds. I [wherein W = (un) substituted C or N; X and Y = independently N, O, or (un) substituted C; A = (un) substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc.; R5 and R7 = independently H, halo, alkoxy, quanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), quanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepared as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylquanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human $\text{GSK3}\beta$ in a cell free assay with IC50 values of < 1 μM . Thus, I and compns. containing I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).
- IT 252905-23-0P, 5-Pyrimidinecarboxylic acid, 2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-[4-(trifluoromethoxy)phenyl]-, ethyl ester

OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
RE.CNT 306 THERE ARE 306 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 22 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
T.4
     2002:449662 CAPLUS
ΑN
DN
     137:33310
     Preparation of anilinopyrimidines as IKK inhibitors
ΤI
IN
     Kois, Adam; MacFarlane, Karen J.; Satoh, Yoshitaka; Bhagwat, Shripad S.;
     Parnes, Jason S.; Palanki, Moorthy S. S.; Erdman, Paul E.
PA
     Signal Pharmaceuticals, Inc., USA
     PCT Int. Appl., 194 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
                                           APPLICATION NO.
     PATENT NO.
                        KIND DATE
                                                                   DATE
                        ____
                                _____
                                            _____
                         A2
                                 20020613
                                            WO 2001-US46403
                                                                    20011205
     WO 2002046171
PΙ
                         А3
                               20030123
     WO 2002046171
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
             UG, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 20030203926
                        A1
                              20031030
                                          US 2001-4642
     US 7122544
                          В2
                                20061017
                         A1
     CA 2431160
                                 20020613
                                           CA 2001-2431160
                                                                    20011205
     AU 2002020195
                                 20020618
                                             AU 2002-20195
                                                                     20011205
                         Α
                                20031008
                                           EP 2001-999564
     EP 1349841
                          Α2
                                                                     20011205
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2004523497
                         Τ
                               20040805
                                            JP 2002-547910
                                                                     20011205
     AU 2002220195
                         В2
                               20060824
                                             AU 2002-220195
                                                                     20011205
                                             US 2005-211383
     US 20060030576
                         A1
                               20060209
                                                                     20050824
     US 7442699
                         В2
                               20081028
PRAI US 2000-251816P
                         Ρ
                                20001206
     US 2001-4642
                                20011204
                         A1
     WO 2001-US46403 W
                                20011205
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS
     MARPAT 137:33310
AΒ
     The title compds. [I; R1 = (un)substituted (hetero)aryl; R2 = H; R3 = H,
     alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 = R8, (CH2)aCOR9, (CH2)aCO2R9,
     etc.; or NR5R6 = (un)substituted heterocycle; R8, R9 = H, alkyl, aryl,
     etc.; a = 0-4] having activity as inhibitors of IKK, particularly IKK-2,
     were prepared E.g., a multi-step synthesis of I [R1 = 4-ClC6H4; R2-R6 = H]
     having an IC50 of \leq 1 \mu\text{M} in the IKK-2 enzyme assay, was given.
     Such compds. I have utility in the treatment of a wide range of conditions
     that are responsive to IKK inhibition. Thus, methods of treating such
     conditions are also disclosed, as are pharmaceutical compns. containing one or
     more compds. of the above compds.
     434945-02-5P
                      434945-17-2P
                                      434945-32-1P
ΙT
     434945-38-7P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of anilinopyrimidines as IKK inhibitors)
```

RN 434945-02-5 CAPLUS

CN Benzamide, 4-[[4-[4-[(trifluoromethyl)thio]phenyl]-2-pyrimidinyl]amino]-(CA INDEX NAME)

RN 434945-17-2 CAPLUS

CN Benzamide, 4-[[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 434945-32-1 CAPLUS

CN Ethanone, 1-[4-[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]benzoyl]-1-piperazinyl]- (CA INDEX NAME)

RN 434945-38-7 CAPLUS

CN Ethanone, 1-[4-[4-[4-[4-[(trifluoromethyl)thio]phenyl]-2-pyrimidinyl]amino]benzoyl]-1-piperazinyl]- (CA INDEX NAME)

OSC.G 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 23 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
T. 4
     2002:449661 CAPLUS
ΑN
DN
     137:33309
     Preparation of anilinopyrimidines as JNK pathway inhibitors
TI
IN
     Kois, Adam; MacFarlane, Karen J.; Satoh, Yoshitaka; Bhagwat, Shripad S.;
     Parnes, Jason S.; Palanki, Moorthy S. S.; Erdman, Paul E.
PA
     Signal Pharmaceuticals, Inc., USA
     PCT Int. Appl., 199 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 2
     PATENT NO.
                        KIND DATE
                                         APPLICATION NO.
                        ____
                                _____
                                           _____
     WO 2002046170
                         A2
                                20020613 WO 2001-US46402
                                                                  20011205
PΙ
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
             UG, UZ, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                         CA 2001-2430966 20011205
                         A1 20020613
     CA 2430966
     AU 2002027214
                         Α
                                20020618
                                            AU 2002-27214
                                                                   20011205
                                            EP 2001-996103
     EP 1349840
                         Α2
                                20031008
                                                                   20011205
                                20090311
     EP 1349840
                         В1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                         Τ
                              20041118 JP 2002-547909
                                                                   20011205
     JP 2004534728
     AU 2002227214
                         В2
                                20061123
                                            AU 2002-227214
                                                                   20011205
     AT 425149
                         Τ
                                20090315
                                            AT 2001-996103
                                                                   20011205
PRAI US 2000-251904P
                        Ρ
                                20001206
     WO 2001-US46402
                        W
                                20011205
OS
     MARPAT 137:33309
     The title compds. [I; R1 = (un)substituted (hetero)aryl; R2 = H; R3 = H,
AΒ
     alkyl; R4 = halo, OH, alkyl, alkoxy; R5, R6 = R8, (CH2)aCOR9, (CH2)aCO2R9,
     etc.; or NR5R6 = (un)substituted heterocycle; R8, R9 = H, alkyl, aryl,
     etc.; a = 0-4] having activity as inhibitors of the JNK pathway, were
     prepared E.g., a multi-step synthesis of I [R1 = 4-ClC6H4; R2-R6 = H]
     having an IC50 of \leq 10 \mu M in the JNK2 assay, was given. Such
     compds. I have utility in the treatment of a wide range of conditions that
     are responsive to inhibition of the JNK pathway. Thus, methods of
     treating such conditions are also disclosed, as are pharmaceutical compns.
     containing one or more compds. of the above compds.
     434945-02-5P
                     434945-17-2P
                                       434945-32-1P
ΙT
     434945-38-7P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of anilinopyrimidines as JNK pathway inhibitors)
RN
     434945-02-5 CAPLUS
     Benzamide, 4-[[4-[4-[(trifluoromethyl)thio]phenyl]-2-pyrimidinyl]amino]-
CN
     (CA INDEX NAME)
```

RN 434945-17-2 CAPLUS

CN Benzamide, 4-[[4-[4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

RN 434945-32-1 CAPLUS

CN Ethanone, 1-[4-[4-[4-(4-(trifluoromethoxy)phenyl]-2-pyrimidinyl]amino]benzoyl]-1-piperazinyl]- (CA INDEX NAME)

RN 434945-38-7 CAPLUS

OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

```
L4 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
```

AN 2002:185092 CAPLUS

DN 136:247598

- ${\tt TI}$ Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
- IN Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manoj; Levine, Barry H.
- PA Chiron Corporation, USA
- SO PCT Int. Appl., 268 pp.

MARPAT 136:247598

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

OS

	PAT	PATENT NO.				KIND DATE			APPLICATION NO.					DATE				
PI		2002							WO 2001-US42081						20010906			
		₩:	CO, GM, LS,	CR, HR, LT,	CU, HU, LU,	CZ, ID, LV,	DE, IL, MA,	DK, IN, MD,	DM, IS, MG,	DZ, JP, MK,	EC, KE, MN,	BG, EE, KG, MW, TJ,	ES, KP, MX,	FI, KR, MZ,	GB, KZ, NO,	GD, LC, NZ,	GE, LK, PH,	GH, LR, PL,
		R₩:	UZ, GH, DE,	VN, GM, DK,	YU, KE, ES,	ZA, LS, FI,	ZW MW, FR,	MZ, GB,	SD, GR,	SL, IE,	SZ, IT,	TZ, LU, ML,	UG, MC,	ZW, NL,	AT, PT,	BE, SE,	CH, TR,	CY,
							A 20020322			AU 2001-95026 EP 2001-975734								
	EΡ											-1001 ,IT,						
		Γ.					•				•	•	шт,	шО,	1111,	, Э ц	т.,	гт,
	JР	2004						FI, RO, MK, 20040520			JP 2002-525117					20010906		
	CN	1592	743							CN 2001-818425								
		2001						20080403			AU 2001-295026							
		20031										2003-					0030	
		8167		26								2003- 2008-					0030	
		2008				B1		2008			NK Z	.008-	/010	0 /		۷ ا	0800	124
PRAI		2000						2000										
	WO	2001- 2003-	-US4	2081		W		2001	0906									

Title compds. I [wherein W = (un) substituted C or N; X and Y = (un)AΒ independently N, O, or (un) substituted C; A = (un) substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc.; R5 and R7 = independently H, halo, alkoxy, quanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepared as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage,

the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human $GSK3\beta$ in a cell free assay with IC50 values of < 1 μM . Thus, I and compns. containing I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data). 252905-23-0P, 5-Pyrimidinecarboxylic acid, 2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-[4-(trifluoromethoxy)phenyl]-, ethyl ester RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors) 252905-23-0 CAPLUS 5-Pyrimidinecarboxylic acid, 2-[[2-[(5-nitro-2pyridinyl)amino]ethyl]amino]-4-[4-(trifluoromethoxy)phenyl]-, ethyl ester

(CA INDEX NAME)

RN CN

OSC.G 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 25 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN L4
- 2001:152682 CAPLUS ΑN
- DN 134:207809
- TIPreparation of spiroisoindolinepiperidines, spiroisoquinolinepiperidines, spiroisobenzofuranpiperidines, and related compounds as neuropeptide Y
- Fukami, Takehiro; Kanatani, Akio; Ishihara, Akane; Ishii, Yasuyuki; ΙN Takahashi, Toshiyuki; Haga, Yuji; Sakamoto, Toshihiro; Itoh, Takahiro
- PΑ Banyu Pharmaceutical Co., Ltd., Japan
- SO PCT Int. Appl., 164 pp.

CODEN: PIXXD2

DT Patent

English LA

FAN.CNT 3

same as # 20

FAN.					KIND DATE			APPLICATION NO.						DATE					
ΡI		O 2001014376 A1 2																	
		W:						AZ,											
								GE,											
			•	•	•	•		MA,	•							•			•
								TR,									ŕ	,	,
		RW:						MZ,									BE,	CH,	CY,
								GB,											
							GA,	GN,	GW,	ML,	MR	1,5	ΝE,	SN,	TD,	TG			
	TW	2794						2007	0421		TW	200	00-8	3911.	5560		2	0000	803
	TW 279402 CA 2379103 BR 2000013423			A1 20010301 A 20020507					CA	200	00 - 2	2379	103		20000811				
								TW 2000-89115560 CA 2000-2379103 BR 2000-13423							20000811				
		EP 1204663			A1 20020515 B1 20031029			0515		ΕP	200	00-9	9519	71		20000811			
	EΡ	1204																	
		R:						ES,					ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
								RO,											
	TR	2002 2002	0040	8		Τ2		2002			TR	200	02-4	408			2	0000	
	HU	2002	0031	07		A2		2002			HU	200	02-3	3107			21	0000	311
	HU	2002	0031	07		A3		2004											
	EE	2002 5170 7672	0008	2		A		2003			EE	200	02-8	32	57		21	0000	
	NΖ	51/0	b /			A		2003			NZ	200	00-5	ol /0.	5 / 2		21	0000	
	AU	7672	29 C 1			ΒZ					AU	200	00-6	04/6	∠ 71		21	0000	
		2530 2206	04			T T3 C		2003		•	AI	200	00-5	9519 5510	- 71 71 55		2	0000; 0000;	
		1202	40 / 1 / 0			1.2		2004 2005			CM	200	00-3	0110	/ 1 5 5		2	0000	
	CM	1640	277			7		2005			CM	200	0	1 U U O	3535		2	0000	
	CM	1640 1004 1481 2866	5775	7		C		2009	-		CIV	200	υ - .	1000	3333		۷.		711
	TI.	1481	19	'		Α		2008			TT.	200	00-1	1481	19		21	0000	R11
	SK	2866	09			B6		2009					02-2					0000	
	JP	2866 2002 3411	0300	86		A		2002							45			0000	
	JР	3411	262			В2		2003											
	IN	2002	KN00	125		А		2005	0311		IN	200	02-I	KN12	5		2	0020	125
	ZA	2002	0007	34		Α		2003	0128		ZA	200	02-	734			2	0020	128
	HR	2002	0001	02		В1		2005	0430		HR	200	02-1	102			2	0020	201
	ВG	2002 2002 1063 2002	90			Α		2002	1229		ВG	200	02-1	1063	90		2	0020	206
	MX	2002	0016	93		Α		2002	0806									0020	218
	ИО	2002 3235	8000	14		Α		2002	0415		ΝО	200	02-8	314			2	0020	219
			14			В1		2007											
		7497	13			B1		2007							02			0020	
	HK	1043	123			A1		2004			HK	200	02-1	1046	86		2	0020	
	US	1043 2003 6649	0055	251		A1		2003			US	200	02 - 2	2262.	25		2	0020	323
	US	6649	624			В2		2003	1118										

10/577,047

	JP 2003104884	A	20030409	JP	2002-271261	20020918
	JP 3553560	B2	20040811		0000 450505	000000
	US 20030220499	A1	20031127	US	2003-453737	20030604
DD7 T	US 6723847 JP 1999-233573	B2 A	20040420 19990820			
PRAI	JP 2000-137692	A	20000510			
	WO 2000-JP5427	A. W	20000310			
	JP 2000-247145	w A3	20000817			
	US 2000-247143	A3	20000817			
	US 2001-983598	A3	20011025			
	US 2002-101221	A3	20021320			
	US 2002-101221 US 2002-226225	A3	20020320			
OS	MARPAT 134:207809	AJ	20020025			
AB	Title compds. [I; Ar	1 = (s	uhstituted)	arv	l heteroarvl	OAr2: Ar2 =
110	(substituted) aryl,					
	(substituted) CH; X					
	N-tert-butoxycarbony					
	to give a residue wh					
	in PhMe at 80° for 2					
	N-benzyl-N-(1-tert-b			3,6	-tetrahydropyr	idin-4-v1)-2-
	iodobenzamide. The					
	Et4NCl in MeCN at 80					
	2-benzyl-1'-tert-but			-dih	ydrospiro[1H-i	soindole-1,4'(5'H)-
	pyridine]-3(2H)-one.					
	N-(4-benzoylphenyl)-	3-oxos	piro[isoindo	line	e-1,4'-piperid	line]-1'-
	carboxamide, (II), w	hich i	nhibited [12	ו[13	peptide YY bin	ding to NPY Y5
	receptors with IC50	= 1.2	nM. II drug	foi	rmulations are	given.
ΙT	328232-69-5P 328.	232-78	-6P			
	RL: BAC (Biological					
	study, unclassified)	; SPN	(Synthetic p	repa	aration); THU	(Therapeutic use);
	BIOL (Biological stud					
						oquinolinepiperidines,
	spiroisobenzofura	npiper	idines, and	rela	ated compds. a	s neuropeptide Y
	antagonists)					
RN	328232-69-5 CAPLUS					
CN	Spiro[cyclohexane-1,					
	N-[5-[3-(fluoromethos)]	xy)phe:	nyl]-2-pyrim	nidi	nyl]-3'-oxo-,	$(1\alpha, 4\beta)$ –
	(CA INDEX NAME)					

Relative stereochemistry.

RN 328232-78-6 CAPLUS

CN Spiro[cyclohexane-1,3'(1'H)-furo[3,4-c]pyridine]-4-carboxamide, N-[5-[3-(fluoromethoxy)phenyl]-2-pyrimidinyl]-1'-oxo-, $(1\alpha,4\beta)$ - (CA INDEX NAME)

Relative stereochemistry.

OSC.G 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (36 CITINGS)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 26 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
T.4
     1999:811233 CAPLUS
ΑN
     132:64265
DN
     Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase
ΤI
     3 inhibitors
IN
     Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.;
     Brown, Sean P.; Goff, Dane; Johnson, Kirk; Pfister, Keith B.; Ramurthy,
     Savithry; Renhowe, Paul A.; Seely, Lynn; Subramanian, Sharadha; Wagman,
     Allan S.; Zhou, Xiaohui A.
PA
     Chiron Corporation, USA
SO
     PCT Int. Appl., 262 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 3
     PATENT NO.
                        KIND DATE
                                            APPLICATION NO.
                         ____
                                             _____
                                                                    _____
     WO 9965897
                          A1 19991223 WO 1999-US13809
                                                                     19990618
PΙ
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                              20000105 AU 1999-49566
     AU 9949566
                          Α
                                                                      19990618
     EP 1087963
                          Α1
                                 20010404
                                             EP 1999-933522
                                                                      19990618
                                 20040825
     EP 1087963
                          В1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     US 6489344
                      B1 20021203
                                             US 1999-336098
                                                                      19990618
                          T
     JP 2003527303
                                20030916
                                             JP 2000-554722
                                                                      19990618
     AT 274510
                          {
m T}
                                20040915
                                             AT 1999-933522
                                                                     19990618
     IN 2000KN00609
                         A
                               20050311
                                             IN 2000-KN609
                                                                      20001207
                       A1 20030710
     US 20030130289
                                             US 2002-309535
                                                                      20021203
     US 7037918
                         B2 20060502
PRAI US 1998-89978P
                         Ρ
                                19980619
     US 1999-336098
                         A3
                                19990618
     WO 1999-US13809 W
                                19990618
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS
    MARPAT 132:64265
     RZCR2R12CR3R13Z1R5 [I; R = (un)substituted (hetero)aryl; Z = 0, NR1,
AB
     CR1R11; Z1 = O, NR4, CR4R14; R1-R4 = H, OH, NH2, alkyl, alkoxy, etc.; R5 =
     (un)substituted 2-pyridyl or -pyrimidyl; R11-R14 = H or alkyl] were prepared
     Thus, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the
     product N-acylated by benzotriazolecarboxamidinium tosylate to give the
     alkylquanidine which was cyclocondensed with resin-bound
     4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to give, after resin cleavage,
     title compound II. Data for biol. activity of I were given.
     252905-23-0P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of aminopyrimidines and -pyridines as glycogen synthase kinase
        3 inhibitors)
RN
     252905-23-0 CAPLUS
```

CN 5-Pyrimidinecarboxylic acid, 2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-[4-(trifluoromethoxy)phenyl]-, ethyl ester (CA INDEX NAME)

OSC.G 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (33 CITINGS)
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 27 OF 27 CAPLUS COPYRIGHT 2009 ACS on STN
L4
   1995:909361 CAPLUS
AN
    123:313996
DN
OREF 123:56291a,56294a
    Preparation of N-phenyl-2-pyrimidineamine antitumor agents
ΙN
    Zimmermann, Juerq
PΑ
    Ciba-Geigy A.-G., Switz.
SO
    PCT Int. Appl., 69 pp.
    CODEN: PIXXD2
DT
    Patent
    English
LA
FAN.CNT 1
                      KIND DATE
    PATENT NO.
                                     APPLICATION NO.
                      ____
                                         _____
    WO 9509847
                       A1 19950413 WO 1994-EP3150
                                                               19940921
PΙ
        W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KP,
            KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK,
            TJ, TT, UA, US, UZ, VN
        RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,
            MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,
            TD, TG
                                       CA 1993-2148931
AU 1994-76976
    CA 2148931
                        Α1
                              19950413
                                                                19930921
    AU 9476976
                        Α
                              19950501
                                                                19940921
    AU 693475
                        В2
                              19980702
                              19950920
                                         EP 1994-927634
                                                                19940921
    EP 672035
                        Α1
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
    JP 08503971 T 19960430 JP 1994-510577 19940921
    US 5612340
                        Α
                              19970318
                                         US 1995-436345
                                                               19950517
                       Α
PRAI CH 1993-2967
                              19931001
    CH 1994-2279
WO 1994-EP3150
                       Α
                              19940718
                              19940921
                       W
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
    MARPAT 123:313996
OS
AΒ
    N-phenyl-2-pyrimidineamine derivs. [I; R1 = naphthyl, fluorenyl,
    anthracenyl, (un) substituted cyclic residue, etc.; R2 = NO2, F-substituted
    lower alkoxy, etc.] [e.g., N-[3-(1,1,2,2-tetrafluoroethoxy)phenyl]-4-
    (3,4,5-trimethoxyphenyl)-2-pyrimidineamine; m.p. 132°], useful for
    the treatment of tumor diseases (no data), are prepared and I-containing
    formulations presented.
ΙT
    170140-92-8P
    RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
    study); PREP (Preparation); USES (Uses)
       (preparation of N-phenyl-2-pyrimidineamine antitumor agents)
    170140-92-8 CAPLUS
RN
    2-Pyrimidinamine, N-[3-(1,1,2,2-tetrafluoroethoxy)] phenyl]-4-[3-
CN
```

(trifluoromethoxy)phenyl]- (CA INDEX NAME)

OSC.G 43 THERE ARE 43 CAPLUS RECORDS THAT CITE THIS RECORD (45 CITINGS)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/577,047

=> log y COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 153.28 342.74

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE

-22.14 -22.14

STN INTERNATIONAL LOGOFF AT 11:27:29 ON 31 AUG 2009